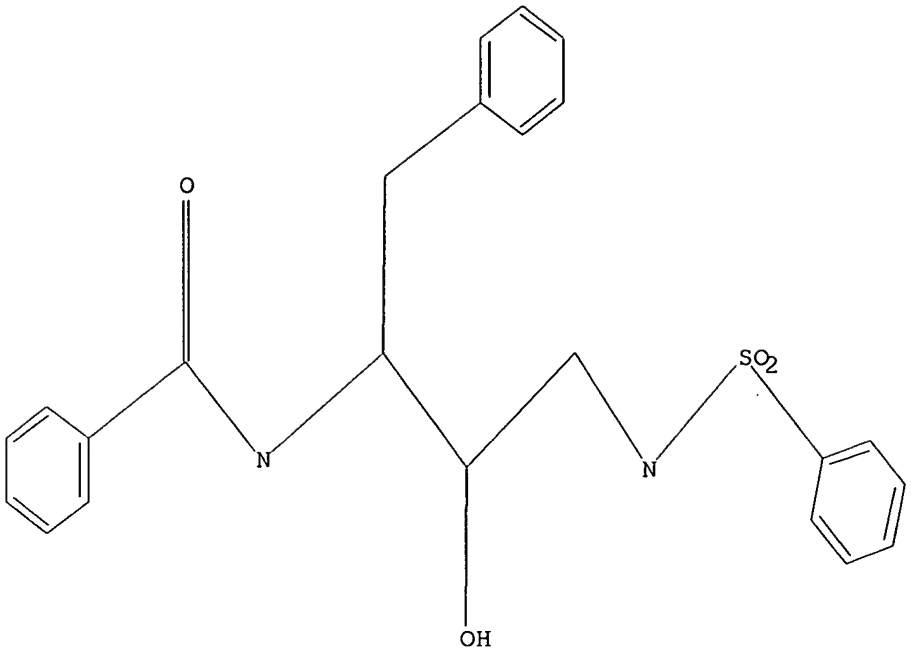

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      260 TO ITERATE

100.0% PROCESSED      260 ITERATIONS                                  6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4233 TO     6167
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:17:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5360 TO ITERATE

100.0% PROCESSED     5360 ITERATIONS                                154 ANSWERS
SEARCH TIME: 00.00.01

L3          154 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.33     161.54

FILE 'CAPLUS' ENTERED AT 15:17:40 ON 26 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 26 Dec 2005 VOL 144 ISS 1
FILE LAST UPDATED: 25 Dec 2005 (20051225/ED)

=> s l3
L4 24 L3

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 118.56 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:1154157 CAPLUS
DOCUMENT NUMBER: 143:422465
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 1034 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005239054	A1	20051027	US 2003-740694	20031222
WO 2003090690	A2	20031106	WO 2003-US12901	20030425
WO 2003090690	A3	20040624		
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WO 2003091264	A2	20031106	WO 2003-US12926	20030425
WO 2003091264	A3	20040311		
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US 2004121316	A1	20040624	US 2003-424186	20030425
US 2005197320	A1	20050908	US 2003-424130	20030425
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WO 2005064008	A1	20050714	WO 2004-US42991	20041222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-375622P	P	20020426
US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
US 2003-465721P	P	20030425
US 2003-465810P	P	20030425
US 2003-465824P	P	20030425
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WO 2003-US12943	A2	20030425
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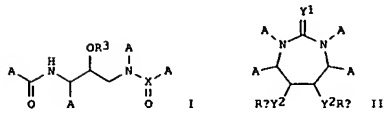
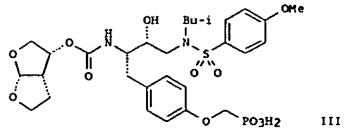




AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SOO-2, or SOO-2SOO-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl;

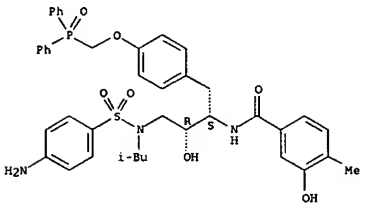
L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include preps. for non-nucleoside phosphonate protease inhibitors. In addn., extensive biol. data regarding PBMC uptake and metab., serum stability, and alk. phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT **622871-38-9P 622871-39-0P 622871-40-3P 622871-41-4P 622871-42-5P 622871-43-6P 622871-44-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622871-38-9 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

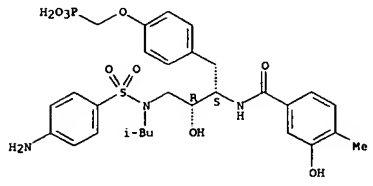
Absolute stereochemistry.



RN 622871-39-0 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

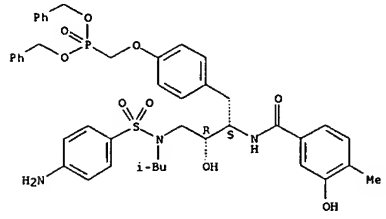
Absolute stereochemistry.

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 622871-40-3 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

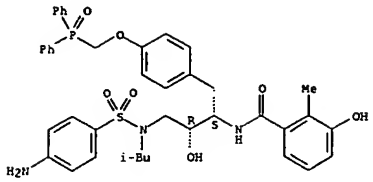
Absolute stereochemistry.



RN 622871-41-4 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

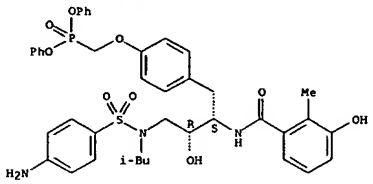
Absolute stereochemistry.

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



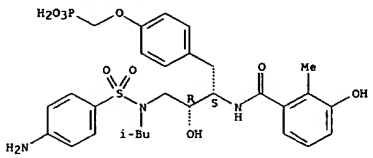
RN 622871-42-5 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622871-43-6 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

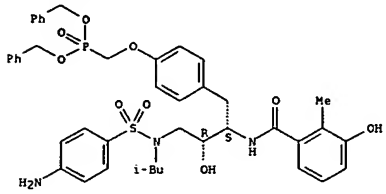
Absolute stereochemistry.



RN 622871-44-7 CAPLUS

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1130656 CAPLUS
DOCUMENT NUMBER: 143:399799
TITLE: An assay system for screening protease inhibitors using a polynucleotide encoding a protease precursor and reporter polypeptide with a protease recognition sequence
INVENTOR(S): Cheng, Ting-Jen; Kan, Chen-Chen
PATENT ASSIGNEE(S): Keck Graduate Institute, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097818	A2	20051020	WO 2005-US8750	20050315
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005244819	A1	20051103	US 2005-82251	20050315
PRIORITY APPLN. INFO.:			US 2004-553263P	P 20040315

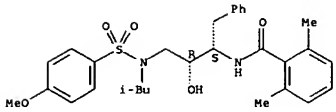
AB Compns. and methods for identifying agents that inhibit protease activity are provided. In particular, polynucleotides, recombinant expression vectors, and host cells are provided that may be used in a bacterial cell-based assay for identifying agents that are inhibitors of protease activity, such as inhibitors of HIV protease activity. The bacterial cells express a precursor of a protease and encode a reporter polypeptide that contains a protease recognition sequence, which can be cleaved by the mature, catalytically active protease such that the reporter activity of the reporter polypeptide is decreased or eliminated.

IT **159005-75-1P 159005-76-2P 159006-42-5P 159006-43-6P 159006-45-8P 159006-46-9P 169280-40-4P 175659-59-3P 738622-21-4P 738622-23-6P 738622-24-7P 738622-25-8P 738622-26-9P 738622-28-1P 738622-29-2P 738622-32-7P 738622-33-8P 738622-34-9P 738622-36-1P 738622-37-2P 738622-38-3P 738622-39-4P 866821-68-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor screening assay using polynucleotide encoding protease precursor and reporter polypeptide with protease recognition sequence)

RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

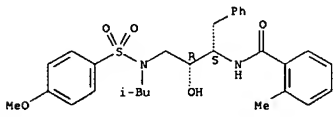
Absolute stereochemistry.

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



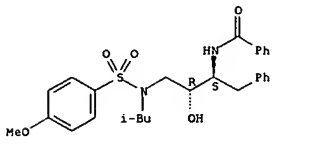
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



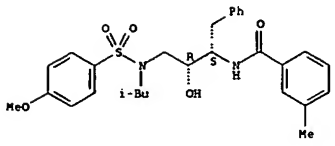
RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



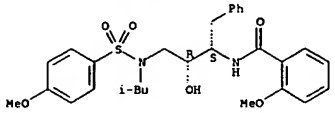
RN 159006-43-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



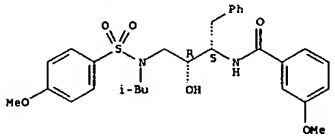
RN 159006-45-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



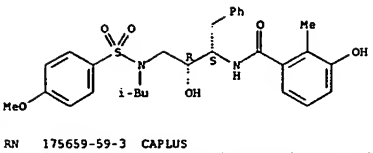
RN 159006-46-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



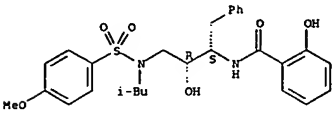
RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



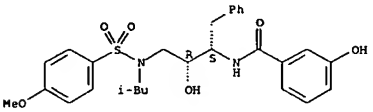
RN 175659-59-3 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



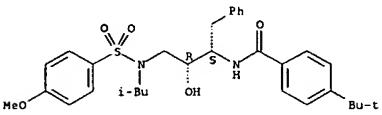
RN 738622-21-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



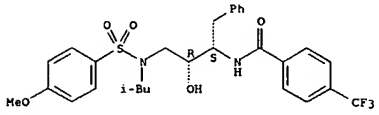
RN 738622-23-6 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



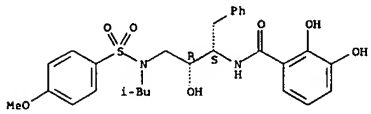
RN 738622-24-7 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



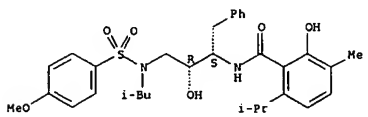
RN 738622-25-8 CAPLUS
CN Benzamide, 2,3-dihydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



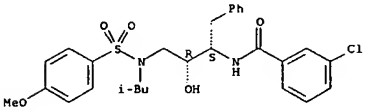
RN 738622-26-9 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-6-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



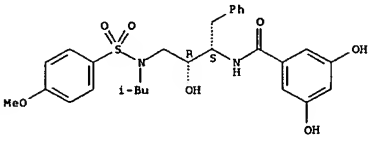
RN 738622-28-1 CAPLUS
CN Benzamide, 3-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



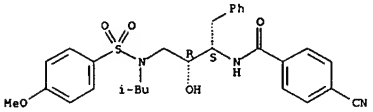
RN 738622-29-2 CAPLUS
CN Benzamide, 3,5-dihydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



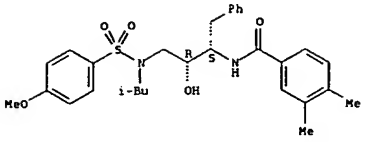
RN 738622-32-7 CAPLUS
CN Benzamide, 4-cyano-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



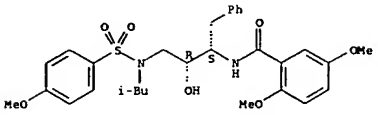
RN 738622-33-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



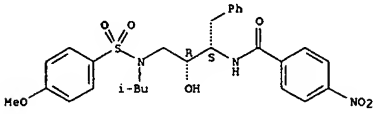
RN 738622-34-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



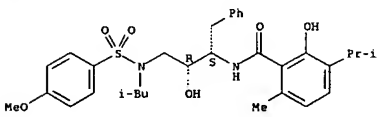
RN 738622-36-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-nitro- (9CI) (CA INDEX NAME)

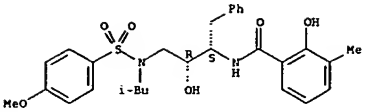
Absolute stereochemistry.



RN 738622-37-2 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

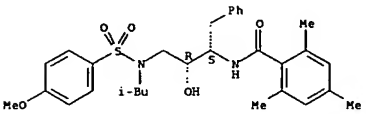
Absolute stereochemistry.





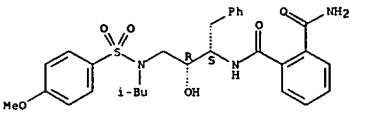
RN 738622-38-3 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 738622-39-4 CAPLUS
CN 1,2-Benzenedicarboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866821-68-3 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-6-methyl-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

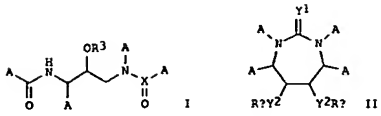
L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:612479 CAPLUS
DOCUMENT NUMBER: 143:97530
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Dastgah, Azar; Eisenberg, Eugene J.; Fardis, Maria; Hatada, Marcos; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; McDermott, Martin J.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1723 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

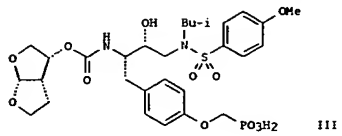
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005064008	A1	20050714	WO 2004-US42991	20041222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239054	A1	20051027	US 2003-740694	20031222

PRIORITY APPLN. INFO.:		
US 2003-740694	A	20031222
US 2002-375622P	P	20020426
US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
US 2003-465721P	P	20030425
US 2003-465810P	P	20030425
US 2003-465824P	P	20030425
WO 2003-US12901	A2	20030425
WO 2003-US12926	A2	20030425
WO 2003-US12943	A2	20030425

GI



I II



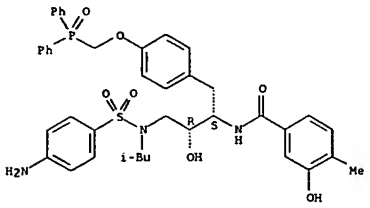
III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12YZW6; A2 = [Y2(CR2R2)1-12]0-12YZW3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SOO-2, or SOO-2SOO-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT **622871-38-9P 622871-39-0P 622871-40-3P 622871-41-4P 622871-42-5P 622871-43-6P 622871-44-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; prepn. of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

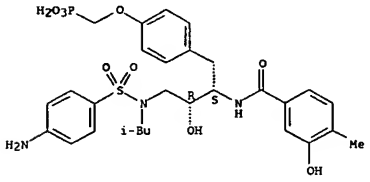
RN 622871-38-9 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



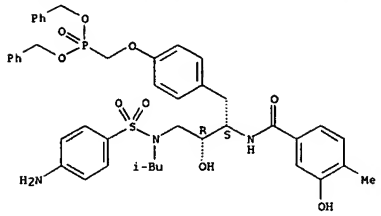
RN 622871-39-0 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



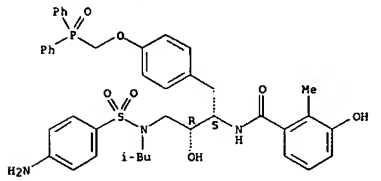
RN 622871-40-3 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



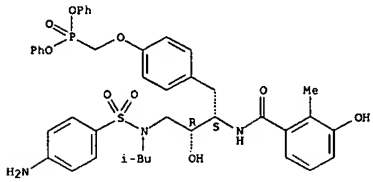
RN 622871-41-4 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



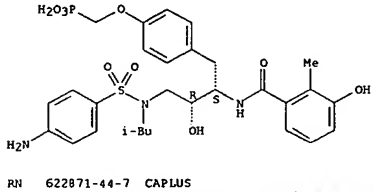
RN 622871-42-5 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



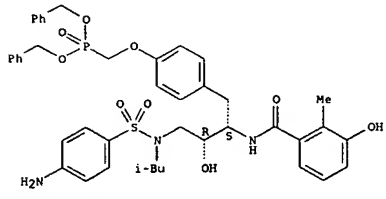
RN 622871-43-6 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622871-44-7 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:300397 CAPLUS
DOCUMENT NUMBER: 142:373564
TITLE: Preparation of sulfone amide derivatives as inhibitors of β-secretase
INVENTOR(S): Oh, Yeong Soo; Choi, Deog-young; Cho, Young Lag; Yoon, Sook Kyung; Seo, Sang Won; Lim, Dongchul; Min, Kyeongsik; Lee, Tae-soo; Lee, Sun Hwa; Chung, Kyung Ha; Kim, Byeong Moon; Bae, Sung Jin; Lee, Jong Sun; Lee, Dae-won; Jeong, Moses
PATENT ASSIGNEE(S): Lg Life Sciences Ltd., S. Korea; Promeditech, Inc.
SOURCE: PCT Int. Appl., 251 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030709	A1	20050407	WO 2004-KR2523	20041001

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

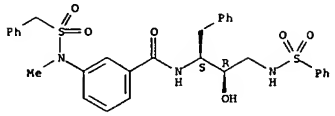
PRIORITY APPLN. INFO.: KR 2003-68187 A 20031001
OTHER SOURCE(S): MARPAT 142:373564
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = H, halo, CN, etc.; R1-3 = alkyl, etc.; X = substituted alkyl, oxazolyl, etc.] are prepared For instance, II is prepared in 5 steps from (2R,4S,5S)-4-((tert-butyldimethylsilyl)oxy)-5-[(3-(1,1-dioxoisothiazolidin-2-yl)benzoyl)amino]-2,7-dimethyloctanoic acid (preparation given), 4-(((tert-butoxycarbonyl)amino)methyl)benzoic acid, benzyl bromide, N-BocAlanine. IC50 against β-secretase for compds. of the invention is in the range of 0.5 - 50 μM. I are useful for the treatment of Alzheimer's disease and related diseases caused by production of beta-amyloid.
IT **849409-24-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfone amide derivs. as inhibitors of β-secretase)
RN 849409-24-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)amino]propyl]-3-[methyl[(phenylmethyl)sulfonyl]amino]-

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

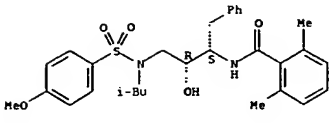
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

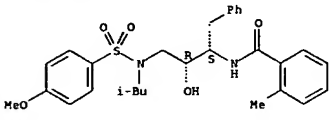
L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:551547 CAPLUS
DOCUMENT NUMBER: 141:184643
TITLE: Model system for high-throughput screening of novel human immunodeficiency virus protease inhibitors in Escherichia coli
AUTHOR(S): Cheng, Ting-Jen; Brik, Ashraf; Wong, Chi-Huey; Kan, Chen-Chen
CORPORATE SOURCE: Keck Graduate Institute of Applied Life Sciences, Claremont, CA, 91711, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(7), 2437-2447
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Novel human immunodeficiency virus (HIV) protease inhibitors are urgently needed for combating the drug-resistance problem in the fight against AIDS. To facilitate lead discovery of HIV protease inhibitors, we have developed a safe, convenient, and cost-effective Escherichia coli-based assay system. This E. coli-based system involves coexpression of an engineered β-galactosidase as an HIV protease substrate and the HIV protease precursor comprising the transframe region and the protease domain. Autoprocessing of the HIV protease precursor releases the mature HIV protease. Subsequently, the HIV protease cleaves β-galactosidase, resulting in a loss of the β-galactosidase activity, which can be detected in high-throughput screens. Using Food and Drug Administration-approved HIV protease inhibitors, this E. coli-based system is validated as a surrogate screening system for identifying inhibitors that not only possess inhibitory activity against HIV protease but also have solubility and permeability for in vivo activity. The usefulness of the E. coli-based system was demonstrated with the identification of a novel HIV protease inhibitor from a library of compds. that were prepared by an amide-forming reaction with transition-state analog cores. A novel inhibitor with a sulfonamide core of amprenavir, E2, has shown good correlation with the in vitro enzymic assay and in vivo E. coli-based system. This system can also be used to generate drug resistance profiles that could be used to suggest therapeutic uses of HIV protease inhibitors to treat the drug-resistant HIV strains. This simple yet efficient E. coli system not only represents a screening platform for high-throughput identification of leads targeting the HIV proteases but also can be adapted to all other classes of proteases.
IT **159005-75-1 159005-76-2 159006-42-5**
159006-43-6 159006-45-8 159006-46-9
169280-40-4 175659-59-3 738622-21-4
738622-23-6 738622-24-7 738622-25-8
738622-26-9 738622-28-1 738622-29-2
738622-30-5 738622-32-7 738622-33-8
738622-34-9 738622-36-1 738622-37-2
738622-38-3 738622-39-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(model system for high-throughput screening of HIV protease inhibitors in Escherichia coli)
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



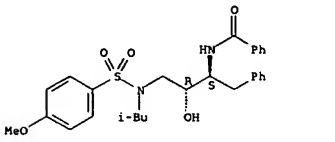
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



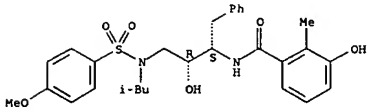
RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



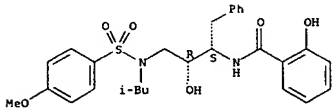
RN 159006-43-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



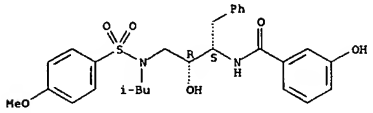
RN 175659-59-3 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



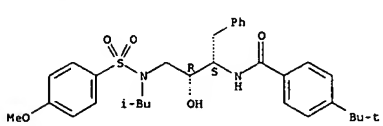
RN 738622-21-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

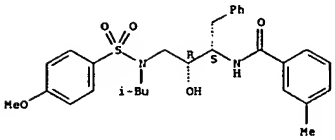
Absolute stereochemistry.



RN 738622-23-6 CAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

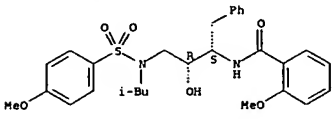
Absolute stereochemistry.





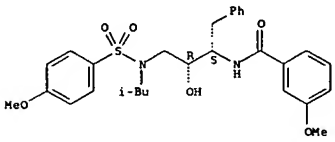
RN 159006-45-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-46-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

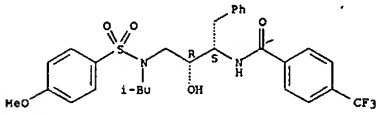


RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

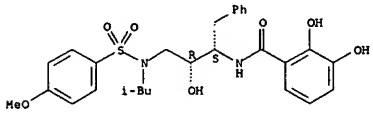
RN 738622-24-7 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



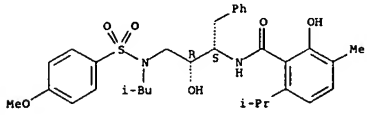
RN 738622-25-8 CAPLUS
CN Benzamide, 2,3-dihydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



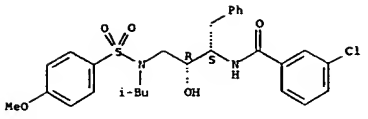
RN 738622-26-9 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-6-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



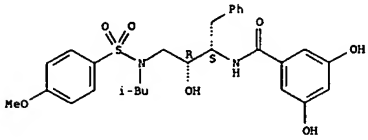
RN 738622-28-1 CAPLUS
CN Benzamide, 3-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



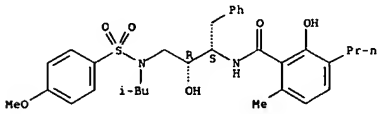
RN 738622-29-2 CAPLUS
CN Benzamide, 3,5-dihydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



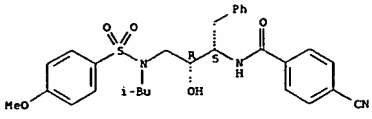
RN 738622-30-5 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-6-methyl-3-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



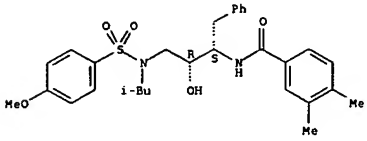
RN 738622-32-7 CAPLUS
CN Benzamide, 4-cyano-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



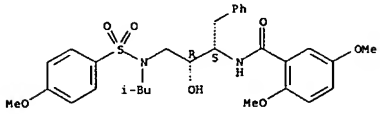
RN 738622-33-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



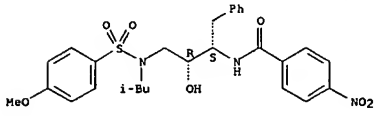
RN 738622-34-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



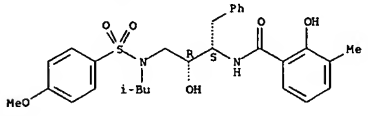
RN 738622-36-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



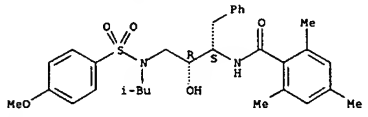
RN 738622-37-2 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



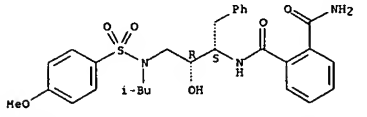
RN 738622-38-3 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 738622-39-4 CAPLUS
CN 1,2-Benzenedicarboxamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



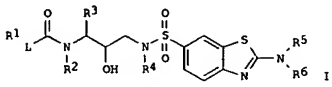
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:142955 CAPLUS
DOCUMENT NUMBER: 140:193033
TITLE: Broad-spectrum 2-aminobenzothiazole sulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Getman, Daniel P.
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014371	A1	20040219	WO 2003-EP50359	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492832	AA	20040219	CA 2003-2492832	20030804
BR 2003005717	A	20040928	BR 2003-5717	20030804
EP 1545518	A1	20050629	EP 2003-784205	20030804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005267156	A1	20051201	US 2005-523445	20050131
NO 2005001089	A	20050502	NO 2005-1089	20050301
PRIORITY APPLN. INFO.:			EP 2002-78231 A	20020802
			US 2002-427862P P	20021120
			WO 2003-EP50359 W	20030804

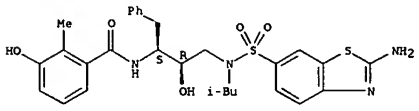
OTHER SOURCE(S): MARPAT 140:193033
GI



AB The invention discloses the use of 2-amino-benzothiazole sulfonamides I [R1 = (un)substituted Ph, hexahydrofuro[2,3-b]furanyl, tetrahydrofuranyl, oxazolyl, thiazolyl, pyridinyl; R2 = H, C1-6 alkyl; L = bond, O, C1-6 alkanediyl-O-, -O-C1-6 alkanediyl; R3 = Ph C1-4 alkyl; R4 = C1-6 alkyl; R5, R6 = H, C1-6 alkyl] in the manufacture of a medicament useful for inhibiting mutant HIV protease in a mammal infected with the mutant HIV protease. The invention also discloses novel compds. I.

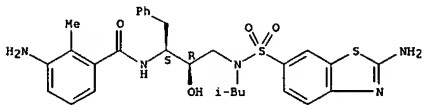
IT **660410-78-6P**

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



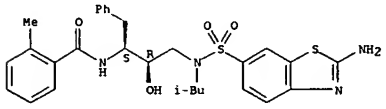
RN 656236-09-8 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

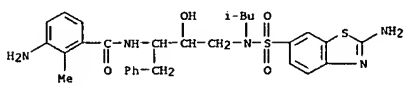


RN 656236-11-2 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 660410-15-1 CAPLUS
CN Benzamide, 3-amino-N-[3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

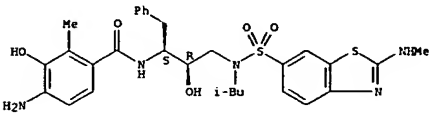


RN 660410-16-2 CAPLUS
CN Benzamide, N-[3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-aminobenzothiazole sulfonamide HIV protease inhibitors)

RN 660410-78-6 CAPLUS
CN Benzamide, 4-amino-3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

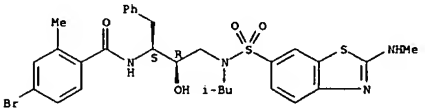
Absolute stereochemistry.



IT **660410-74-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(2-aminobenzothiazole sulfonamide HIV protease inhibitors)

RN 660410-74-2 CAPLUS
CN Benzamide, 4-bromo-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **656236-07-6 656236-09-8 656236-11-2**
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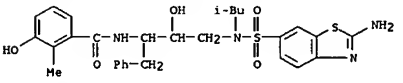
660410-20-8 660410-23-1 660410-25-3
660410-29-7 660410-31-1 660410-53-7
660410-61-7 660410-66-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(2-aminobenzothiazole sulfonamide HIV protease inhibitors)

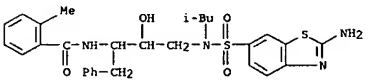
RN 656236-07-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

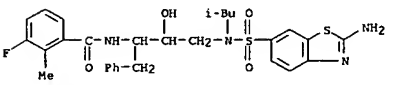
L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



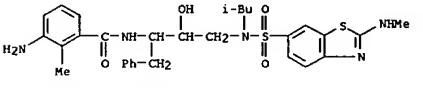
RN 660410-18-4 CAPLUS
CN Benzamide, N-[3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



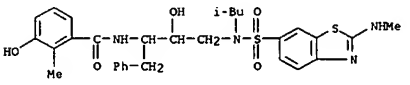
RN 660410-20-8 CAPLUS
CN Benzamide, N-[3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-fluoro-2-methyl- (9CI) (CA INDEX NAME)



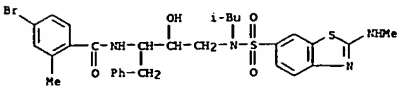
RN 660410-23-1 CAPLUS
CN Benzamide, 3-amino-N-[2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



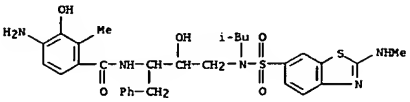
RN 660410-25-3 CAPLUS
CN Benzamide, 3-hydroxy-N-[2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 660410-29-7 CAPLUS
CN Benzamide, 4-bromo-N-[2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

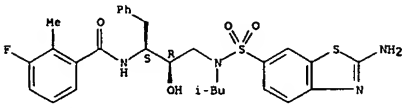


RN 660410-31-1 CAPLUS
CN Benzamide, 4-amino-3-hydroxy-N-[2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 660410-53-7 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-fluoro-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

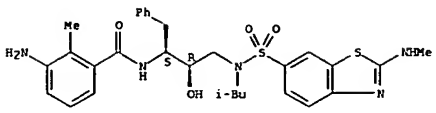


RN 660410-61-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 660410-60-6
CMF C30 H37 N5 O4 S2

Absolute stereochemistry.



CM 2

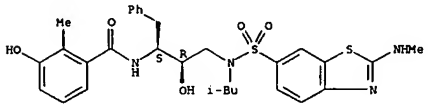
CRN 76-05-1
CMF C2 H F3 O2

RN 660410-66-2 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 660410-65-1
CMF C30 H36 N4 O5 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:875072 CAPLUS
DOCUMENT NUMBER: 139:381610
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Birkus, Gabriel; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Eisenberg, Eugene J.; Hatada, Marcos; He, Gong-Xin; Kim, Choung U.; Lee, William A.; McDermott, Martin J.; Swaminathan, Sundaramoorthi
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 814 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090691	A2	20031106	WO 2003-US12943	20030425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1575496	A2	20050921	EP 2003-726472	20030425
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WO 2004096818	A2	20041111	WO 2003-EP12423	20031106
WO 2004096818	A3	20050407		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239054	A1	20051027	US 2003-740694	20031222
US 2005136397	A1	20050623	US 2004-970389	20041022

PRIORITY APPLN. INFO.:

US 2002-375622P	P	20020426
US 2002-375665P	P	20020426
US 2002-375779P	P	20020426
US 2002-375834P	P	20020426
US 2003-423496	A2	20030425
US 2003-424130	A2	20030425
US 2003-424186	A2	20030425
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US 2003-465810P	P	20030425
US 2003-465824P	P	20030425
WO 2003-US12901	A	20030425
WO 2003-US12926	A	20030425

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

WO 2003-US12943	W	20030425
US 2003-513532P	P	20031024
US 2003-513542P	P	20031024
US 2003-514241P	P	20031024
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WO 2004-US35083	A	20041022

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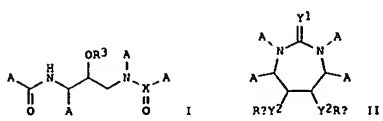

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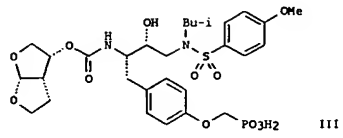


III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = {Y2(CR2R2)1-12}O-12YZW6; A2 = {Y2(CR2R2)1-12}O-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SOO-2, or SOO-2SOO-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl: or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding

PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

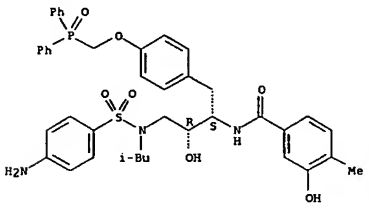
inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT **622871-38-9P 622871-39-0P 622871-40-3P**
622871-41-4P 622871-42-5P 622871-43-6P
622871-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622871-38-9 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

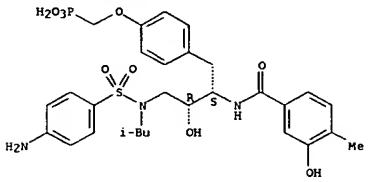
Absolute stereochemistry.



RN 622871-39-0 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

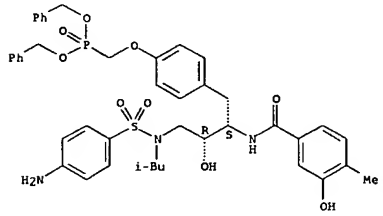
Absolute stereochemistry.



RN 622871-40-3 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

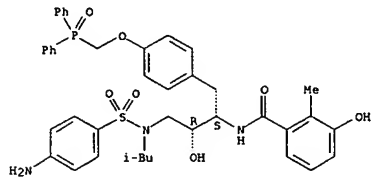
Absolute stereochemistry.



RN 622871-41-4 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

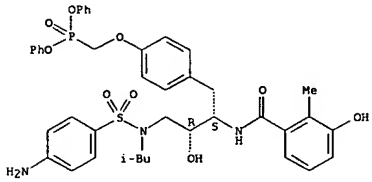


RN 622871-42-5 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

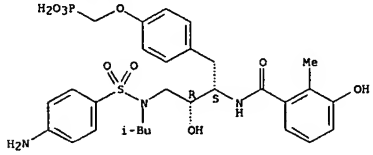
L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 622871-43-6 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

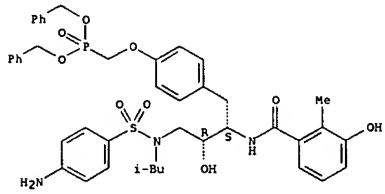
Absolute stereochemistry.



RN 622871-44-7 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2003:875071 CAPLUS
DOCUMENT NUMBER: 139:381609
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors with improved cellular accumulation properties
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Dastgah, Azar; Fardis, Maria; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1727 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090690	A2	20031106	WO 2003-US12901	20030425
WO 2003090690	A3	20040624		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2481261	AA	20031106	CA 2003-2481261	20030425
BR 2003009573	A	20050201	BR 2003-9573	20030425
EP 1509537	A2	20050302	EP 2003-747326	20030425
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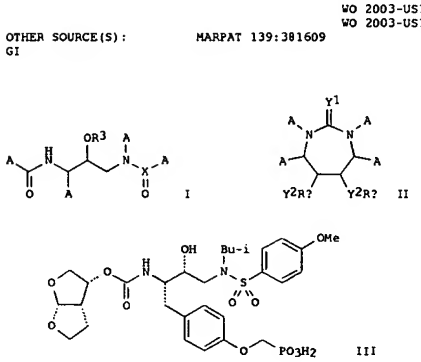
JP 2005523912	T2	20050811	JP 2003-587329	20030425
WO 2004096818	A2	20041111	WO 2003-EP12423	20031106
WO 2004096818	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239054	A1	20051027	US 2003-740694	20031222
NO 2004005150	A	20050126	NO 2004-5150	20041125

PRIORITY APPLN. INFO.: US 2002-375622P P 20020426
US 2002-375665P P 20020426
US 2002-375779P P 20020426

US 2002-375834P P 20020426
US 2003-423496 A2 20030425
US 2003-424130 A2 20030425
US 2003-424186 A2 20030425
US 2003-465721P P 20030425
US 2003-465810P P 20030425
US 2003-465824P P 20030425
WO 2003-US12901 W 20030425
WO 2003-US12926 A 20030425
WO 2003-US12943 A 20030425

OTHER SOURCE(S): MARPAT 139:381609
GI



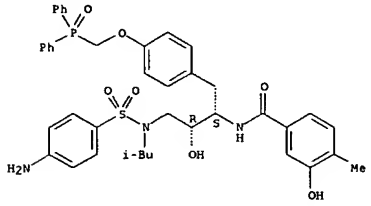
AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = {Y2(CR2R2)1-12}0-12Y2W6; A2 = {Y2(CR2R2)1-12}0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SOO-2, or SOO-2SOO-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SO-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Examples include prepns. for non-nucleoside saquinavir-like, lopinavir-like, ritonavir-like, indinavir-like, atazanavir-like, nefinavir-like, tipranavir-like, amprenavir-like, KNI-like, and cyclic carbonyl-like phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected

phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT **622871-38-9P 622871-39-0P 622871-40-3P**
622871-41-4P 622871-42-5P 622871-43-6P
622871-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

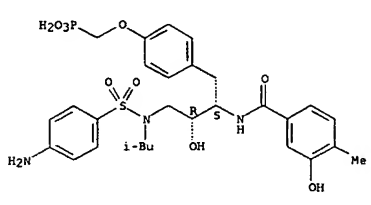
RN 622871-38-9 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622871-39-0 CAPLUS
CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

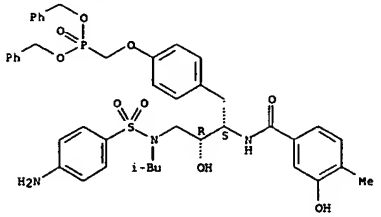


RN 622871-40-3 CAPLUS

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

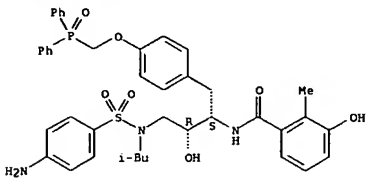
Absolute stereochemistry.



RN 622871-41-4 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

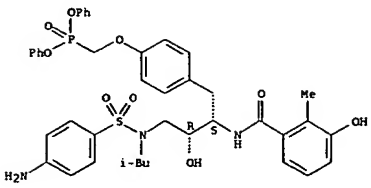


RN 622871-42-5 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

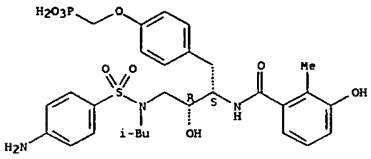
L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 622871-43-6 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

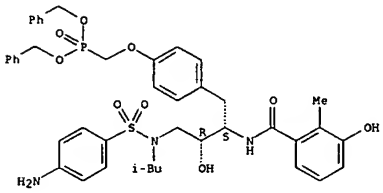
Absolute stereochemistry.



RN 622871-44-7 CAPLUS

CN Phosphonic acid, [[4-[(2S,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:788593 CAPLUS

DOCUMENT NUMBER: 140:156713

TITLE: Discovery of novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease

AUTHOR(S): Nagarajan, Srinivasan R.; De Crescenzo, Gary A.; Getman, Daniel P.; Lu, Hwang-Fun; Sikorski, James A.; Walker, Jeffrey L.; McDonald, Joseph J.; Houseman, Kathryn A.; Kocan, Geralyn P.; Kishore, Nandini; Mehta, Pramod P.; Funkes-Shippy, Christie L.; Blystone, Lisa

CORPORATE SOURCE: Pfizer Global Research and Development, Pfizer Inc., Chesterfield, MO, 63017, USA

SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(22), 4769-4777
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

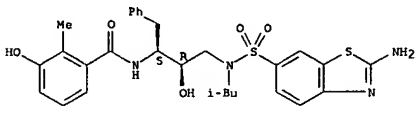
AB The human immunodeficiency virus (HIV) has been shown to be the causative agent for AIDS. The HIV virus encodes for a unique aspartyl protease that is essential for the production of enzymes and proteins in the final stages of maturation. Protease inhibitors have been useful in combating the disease. The inhibitors incorporate a variety of isosteres including the hydroxyethylurea at the protease cleavage site. We have shown that the replacement of t-butylurea moiety by benzothiazolesulfonamide provided inhibitors with improved potency and antiviral activities. Some of the compds. have shown good oral bioavailability and half-life in rats. The synthesis of benzothiazole derivs. led us to explore other heterocycles. During the course of our studies, we also developed an efficient synthesis of benzothiazole-6-sulfonic acid via a two-step procedure starting from sulfanilamide.

IT **656236-07-6P 656236-09-8P 656236-11-2P**
656236-21-4P 656236-32-7P 656236-34-9P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiviral structure-activity relationship novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease)

RN 656236-07-6 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

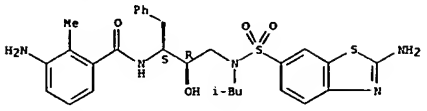
Absolute stereochemistry.



RN 656236-09-8 CAPLUS

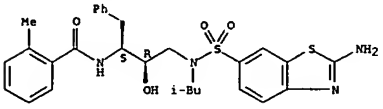
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



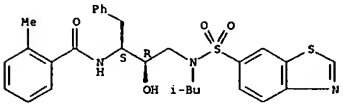
RN 656236-11-2 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



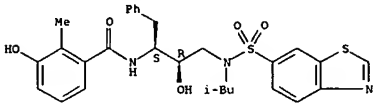
RN 656236-21-4 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656236-32-7 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

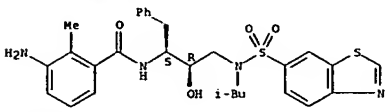
Absolute stereochemistry.



RN 656236-34-9 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-

methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

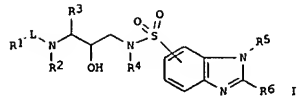


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

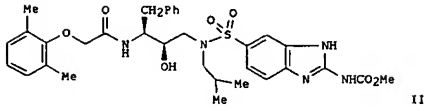
ACCESSION NUMBER: 2003:737734 CAPLUS
DOCUMENT NUMBER: 139:261299
TITLE: Preparation of broad spectrum substituted benzimidazolesulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Voets, Marieke Christiane Johanna; Vendeville, Sandrine Marie Helene; De Kock, Herman Augustinus; Vergouwen, Bernhard Joanna Bernard
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076413	A1	20030918	WO 2003-EP50057	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479012	AA	20030918	CA 2003-2479012	20030312
BR 2003003373	A	20040323	BR 2003-3373	20030312
EP 1485358	A1	20041215	EP 2003-714954	20030312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519952	T2	20050707	JP 2003-574633	20030312
US 2005171175	A1	20050804	US 2003-508561	20030312
PRIORITY APPLN. INFO.:			EP 2002-75999 A	20020312
			WO 2003-EP50057 W	20030312

OTHER SOURCE(S): MARPAT 139:261299
GI



I



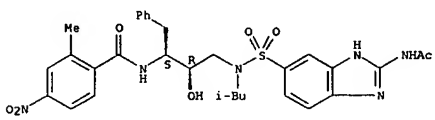
II

AB Title compds. I [R1 = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, aminoalkyl; R2 = H, alkyl; R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, OH, NH2; R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, NH2; L = CO, CO2, (un)substituted NHCO, OXCO, NHXCO, SO2, SO3, NHSO2, NHXSO2, where either CO or SO2 is attached to NR2; X = alkanediyl] were prepared Thus, Me 2-benzimidazolecarbamate was chlorosulfonylated, treated with (1S,2R)-PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2, deblocked, and treated with 2,6-Me2C6H3OCH2CO2H to give the title compound II which had pIC50 against HIV-1 strain LAI of 8.5.

IT **602310-26-9P 602310-53-2P 602310-60-1P**
602310-96-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of broad spectrum substituted benzimidazolesulfonamide HIV protease inhibitors)

RN 602310-26-9 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[[2-(acetylamino)-1H-benzimidazol-5-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

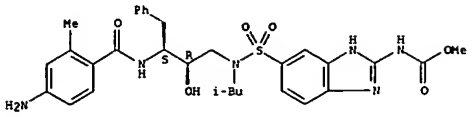
Absolute stereochemistry.



RN 602310-53-2 CAPLUS
CN Carbamic acid, [5-[[[(2R,3S)-3-[(4-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

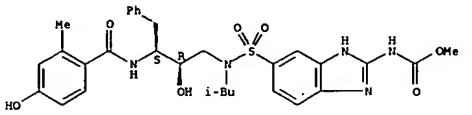
L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



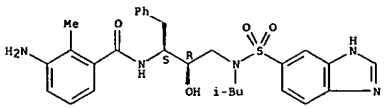
RN 602310-60-1 CAPLUS
CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[(4-hydroxy-2-methylbenzoyl)amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 602310-96-3 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[(1H-benzimidazol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:376819 CAPLUS
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466284	AA	20030515	CA 2002-2466284	20021108
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171881	A1	20040902	US 2002-291318	20021108
EP 1453789	A2	20040908	EP 2002-793909	20021108
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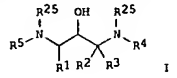
BR 2002014035	A	20050426	BR 2002-14035	20021108
JP 2005520791	T2	20050714	JP 2003-542142	20021108
NO 2004002359	A	20040806	NO 2004-2359	20040607

PRIORITY APPLN. INFO.:

US 2001-337122P	P	20011108
US 2001-344086P	P	20011228
US 2002-345635P	P	20020103
WO 2002-US36072	W	20021108

OTHER SOURCE(S): MARPAT 138:385173
GI

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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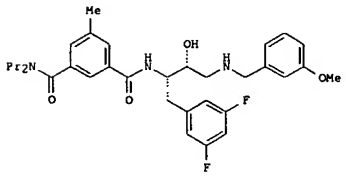


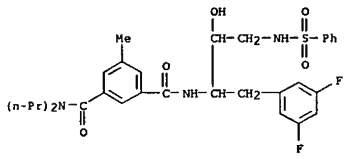
II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT **527713-59-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

RN 527713-59-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:888736 CAPLUS
DOCUMENT NUMBER: 137:384835
TITLE: Preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092595	A1	20021121	WO 2002-EP5212	20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444895	AA	20021121	CA 2002-2444895	20020510
EP 1387842	A1	20040211	EP 2002-735354	20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300547	A	20040216	EE 2003-547	20020510
BR 2002009594	A	20040330	BR 2002-9594	20020510
CN 1507446	A	20040623	CN 2002-809741	20020510
JP 2004534757	T2	20041118	JP 2002-589479	20020510
NZ 529250	A	20050527	NZ 2002-529250	20020510
ZA 2003007799	A	20050106	ZA 2003-7799	20031006
US 2004106661	A1	20040603	US 2003-474485	20031009
BG 108309	A	20041230	BG 2003-108309	20031103
PRIORITY APPLN. INFO.:			EP 2001-201732 A	20010511
			WO 2002-EP5212 W	20020510

OTHER SOURCE(S): MARPAT 137:384835
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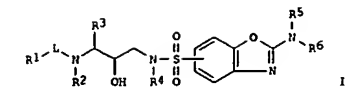

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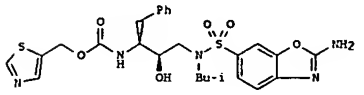


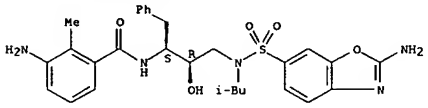
II

AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxycarbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC50 = 8.18 against HIV-1 (Lai strain).

IT **475487-72-0P 475487-85-5P 475487-88-8P**
475487-98-0P 475488-25-6P 475488-53-0P
475488-56-3P 475488-72-3P 475488-75-6P
475488-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors)

RN 475487-72-0 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



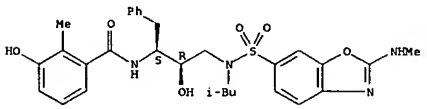
RN 475487-85-5 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(2-(methylamino)-6-benzoxazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 1

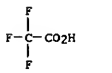
CRN 475487-84-4
CMF C30 H36 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

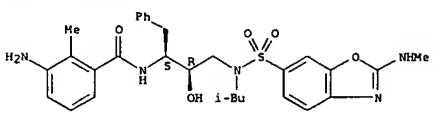


RN 475487-88-8 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzoxazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475487-87-7
CMF C30 H37 N5 O5 S

Absolute stereochemistry.



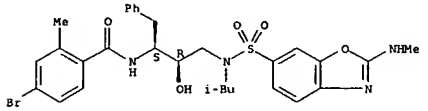
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

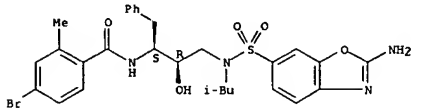
RN 475487-98-0 CAPLUS
CN Benzamide, 4-bromo-N-[(1S,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzoxazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



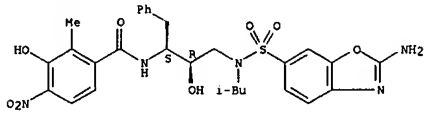
RN 475488-25-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-bromo-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475488-53-0 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

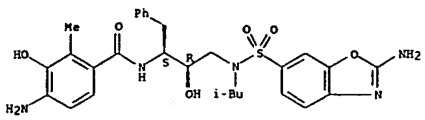
Absolute stereochemistry.



RN 475488-56-3 CAPLUS

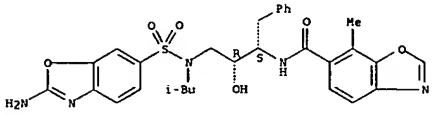
L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzamide, 4-amino-N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



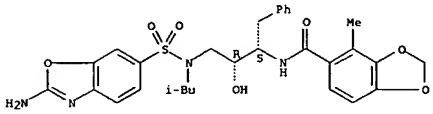
RN 475488-72-3 CAPLUS
CN 6-Benzoxazolecarboxamide, N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475488-75-6 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

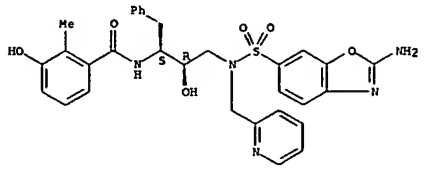


RN 475488-92-7 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-pyridinylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 475488-91-6
CMF C31 H31 N5 O6 S

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:814117 CAPLUS
DOCUMENT NUMBER: 137:325410
TITLE: Broad-spectrum 2-(substituted-amino)-benzothiazolesulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Getman, Daniel; Verschueren, Wim Gaston; Vendeville, Sandrine; De Bethune, Marie-Pierre; De Kerpel, Jan Octaaf Antoon; Moors, Samuel Leo Christiaan; De Kock, Herman Augustinus; Voets, Marieke Christiane Johanna
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083657	A2	20021024	WO 2002-EP1788	20020214
WO 2002083657	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438304	AA	20021024	CA 2002-2438304	20020214
EE 200300381	A	20031215	EE 2003-381	20020214
EP 1370543	A2	20031217	EP 2002-729930	20020214
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BR 2002007862	A	20040622	BR 2002-7862	20020214
JP 2004518767	T2	20040624	JP 2002-581413	20020214
CN 1525962	A	20040901	CN 2002-804982	20020214
NZ 527391	A	20050429	NZ 2002-527391	20020214
ZA 2003006086	A	20041108	ZA 2003-6086	20030806
US 2004116485	A1	20040617	US 2003-467609	20030807
NO 2003003584	A	20031014	NO 2003-3584	20030813
BG 108143	A	20040730	BG 2003-108143	20030901
PRIORITY APPLN. INFO.:			EP 2001-200529 A	20010214
			US 2001-287758P P	20010502
			WO 2002-EP1788 W	20020214

OTHER SOURCE(S): MARPAT 137:325410
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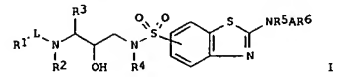
L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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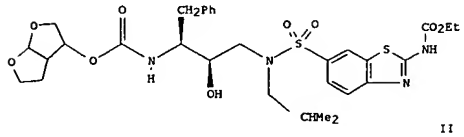


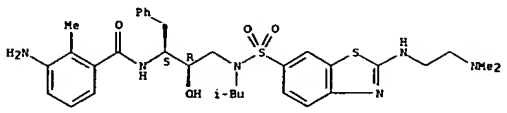
II

AB Title compds. I [R1, R8 = H, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, heterocyclylalkyl; R2 = H, alkyl; L = CO, O2C, (un)substituted NHCO, oxoalkylcarbonyl, aminoalkylcarbonyl, SO2, O3S, NHSO2; R3 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R4 = H, alkoxycarbonyl, carboxy, (un)substituted CONH2, cycloalkyl, alkenyl, alkynyl (un)substituted alkyl; A = alkanediyl, CO, CS, SO2, oxoalkanediyl, thioalkanediyl, alkanediylsulfonyl; R5 = H, OH, alkyl, heterocyclylalkyl, (un)substituted aminoalkyl; R6 = alkoxy, heterocyclyl, heterocyclyloxy, aryl, aryloxy, alkoxycarbonylamino, amino; and when A is other than alkanediyl then R6 may also be alkyl, heterocyclylalkyl, heterocyclyloxyalkyl, aralkyl, aryloxyalkyl, (un)substituted aminoalkyl; R5NAR6 = heterocyclic] their N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, esters and metabolites were prepared I are useful as broad-spectrum HIV protease inhibitors, and may be formulated in diagnostic kits. Thus, the sulfonamide II, prepared in several steps from the benzothiazolecarbamate, showed activity against a number of resistant mutants of HIV-1 strain LAI.

IT **473737-52-9P 473737-55-2P 473737-56-3P**
473737-58-5P 473737-67-6P 473737-73-4P
473737-77-8P 473737-81-4P 473737-83-6P
473737-84-7P 473737-85-8P 473737-87-0P
473737-93-8P 473737-94-9P 473739-99-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(broad-spectrum 2-aminobenzothiazolesulfonamide HIV protease inhibitors)

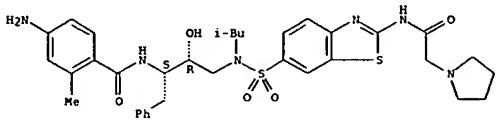
RN 473737-52-9 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[[2-[[2-(dimethylamino)ethyl]amino]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



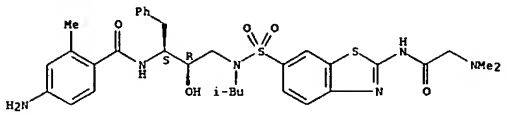
RN 473737-55-2 CAPLUS
CN 1-Pyrrolidineacetamide, N-[6-[[[(2R,3S)-3-[(4-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473737-56-3 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-3-[[[2-[[(dimethylamino)acetyl]amino]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

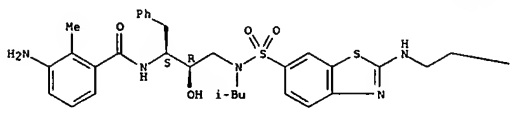
Absolute stereochemistry.



RN 473737-58-5 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-[[2-(1-pyrrolidinyl)ethyl]amino]-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

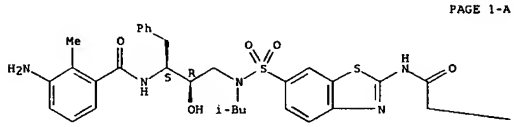
RN 473737-77-8 CAPLUS
CN 1-Piperazineacetamide, N-[6-[[[(2R,3S)-3-[(3-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]-4-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

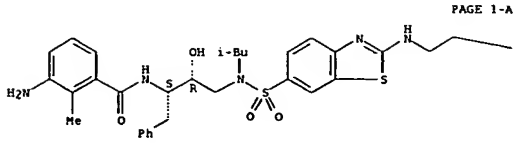
CRN 473737-76-7
CMF C36 H47 N7 O5 S2

Absolute stereochemistry.

PAGE 1-A



PAGE 1-A

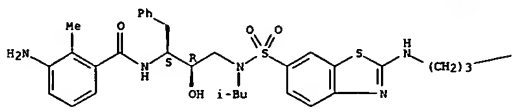


PAGE 1-B

RN 473737-67-6 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[[2-[[3-(dimethylamino)propyl]amino]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

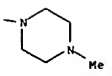


PAGE 1-B

RN 473737-73-4 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-[[2-(1-piperazinyl)ethyl]amino]-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

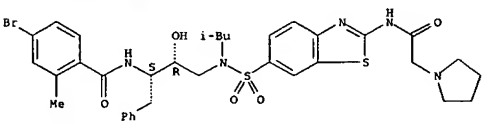


CM 2

CRN 76-05-1
CMF C2 H F3 O2

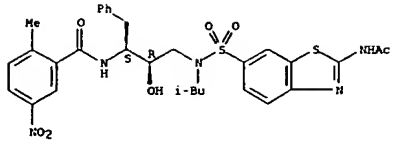
RN 473737-81-4 CAPLUS
CN 1-Pyrrolidineacetamide, N-[6-[[[(2R,3S)-3-[(4-bromo-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



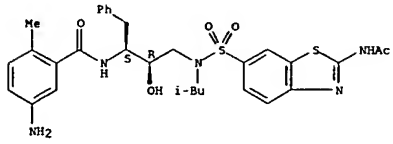
RN 473737-83-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[[2-(acetylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-5-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



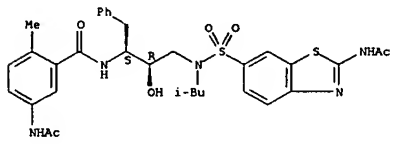
RN 473737-84-7 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[[2-(acetylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-amino-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



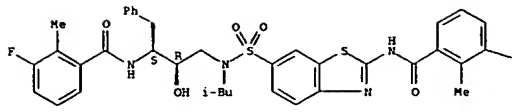
RN 473737-85-8 CAPLUS
CN Benzamide, 5-(acetylamino)-N-[(1S,2R)-3-[[[2-(acetylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



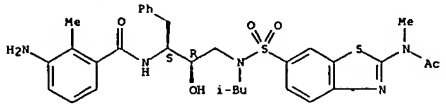
RN 473737-87-0 CAPLUS
CN Benzamide, 3-fluoro-N-[(1S,2R)-3-[[[2-[(3-fluoro-2-methylbenzoyl)amino]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



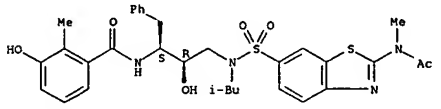
RN 473737-93-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[[2-(acetylmethylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-amino-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



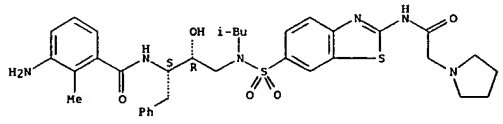
RN 473737-94-9 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[[2-(acetylmethylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473739-99-0 CAPLUS
CN 1-Pyrrolidineacetamide, N-[6-[[[(2R,3S)-3-[(3-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



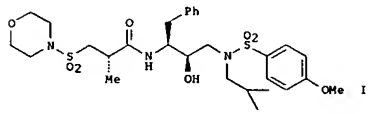
ACCESSION NUMBER: 2000:785899 CAPLUS
DOCUMENT NUMBER: 133:335236
TITLE: Preparation of hydroxyethylamino bis-sulfonamides as retroviral protease inhibitors
INVENTOR(S): Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 376,337, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6143747	A	20001107	US 1998-875025	19980226
WO 9622287	A1	19960725	WO 1996-US607	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
EP 1586558	A2	20051019	EP 2005-13695	19960118
EP 1586558	A3	20051026		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6384036	B1	20020507	US 2000-635896	20000811
US 2003013751	A1	20030116	US 2002-76607	20020219
US 2004063771	A1	20040401	US 2003-417340	20030417

PRIORITY APPLN. INFO.:

US 1995-376337	B2	19950120
WO 1996-US607	W	19960118
EP 1996-902700	A3	19960118
US 1998-875025	A1	19980226
US 2000-635896	A1	20000811
US 2002-76607	A1	20020219

OTHER SOURCE(S): MARPAT 133:335236
GI



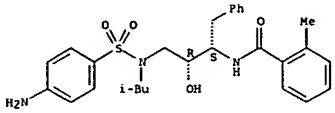
AB R10R11NSOw(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)NR3SOxR4 [R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, alkyl, alkenyl, alkynyl, heterocyclyl, amino acid sidechain, etc.; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl, heteroaryl, heteroaralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl,

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
hydroxyalkyl, alkoxyalkyl, cycloalkyl, heteroaryl, heterocyclyl, etc.; R6, R8 = H, alkyl; R7 = COZH, amidino, N-alkylamidino, R1; R1R7 = atoms to form a (heterocyclic) ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, arylcarbonylalkyl, thioalkyl, etc.; R10R11N = heterocyclyl, heteroaryl, etc.; x, w = 0, 1, 2; t = 0-6; Y = O, S, NH], were prepd. Thus, 3-(N-morpholinosulfonyl)-2(R)-methylpropionic acid (prepn. given) in DMF at 0° was treated with hydroxybenzotriazole and EDC followed by addn. of 3S-amino-1-[N-(2-methylpropyl)-N-(4-methoxyphenylsulfonyl)amino]-4-phenyl-2R-butanol (prepn. given) in DMF to give 67% title compd. (I). This inhibited HIV-1 in CEM cells with IC50 = 10 nM.

IT **169280-59-5P 169280-60-8P 169280-65-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxyethylamino bissulfonamides as retroviral protease inhibitors)

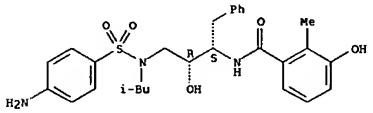
RN 169280-59-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-60-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

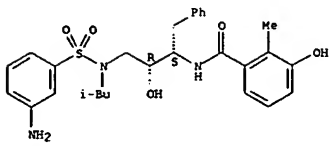
Absolute stereochemistry.



RN 169280-65-3 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:304314 CAPLUS
DOCUMENT NUMBER: 132:322147
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PATENT ASSIGNEE(S): G.D.Searle and Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060476	A	20000509	US 1994-204827	19940302
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
US 5968942	A	19991019	US 1994-294468	19940823
US 6455581	B1	20020924	US 1995-451090	19950525
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330
US 2005267171	A1	20051201	US 2005-110943	20050421
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			EP 1993-923714	A3 19930824
			US 1993-110911	A 19930824
			US 1994-204827	A 19940302
			US 1994-294468	A1 19940823

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	WO 1994-US9139	W 19940823
	US 1995-451090	A3 19950525
	US 1999-288080	A1 19990408
	US 2001-798255	A1 20010305
	US 2002-157019	A1 20020530
	US 2002-199481	A3 20020722
	US 2003-633376	A1 20030804

OTHER SOURCE(S): MARPAT 132:322147
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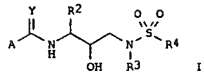

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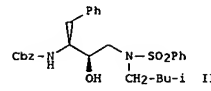


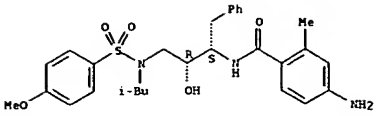
II

AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

IT **169280-77-7P 169280-78-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

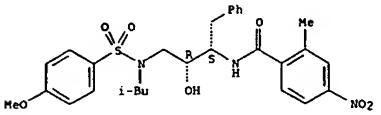
RN 169280-77-7 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-78-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

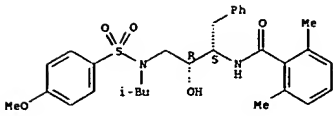
Absolute stereochemistry.



IT 159005-75-1P 159005-76-2P 159005-77-3P
159005-78-4P 159006-42-5P 169280-38-0P
169280-39-1P 169281-06-5P 169281-07-6P
169281-08-7P 169281-09-8P 169281-10-1P
169281-11-2P 169281-12-3P 216871-08-8P
216871-14-6P 216871-19-1P 216871-34-0P
216871-40-8P 216871-46-4P 216871-50-0P
216871-56-6P 216871-60-2P 216871-65-7P
216871-68-0P 216871-72-6P 216871-77-1P
216871-82-8P 216871-92-0P 216871-97-5P
216872-02-5P 216872-08-1P 216872-13-8P
216872-20-7P 216872-28-5P 216872-34-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

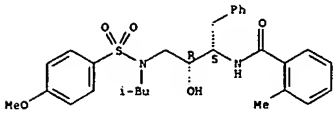
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



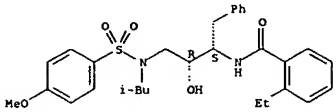
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



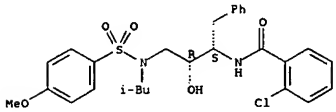
RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



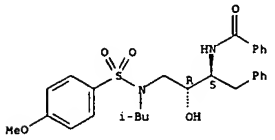
RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



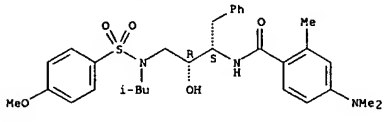
RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



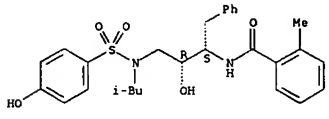
RN 169280-38-0 CAPLUS
CN Benzamide, 4-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



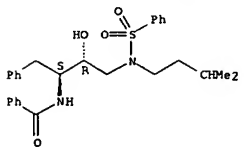
RN 169280-39-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



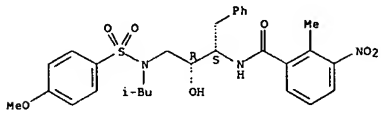
RN 169281-06-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



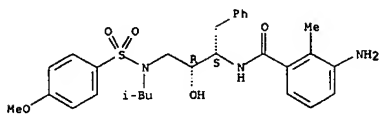
RN 169281-07-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



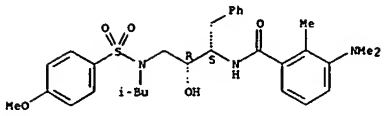
RN 169281-08-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



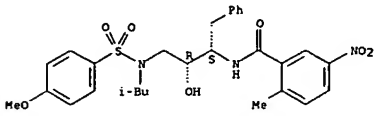
RN 169281-09-8 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



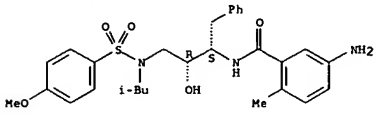
RN 169281-10-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



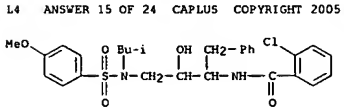
RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

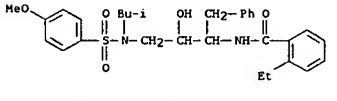


RN 169281-12-3 CAPLUS
CN Benzamide, 5-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

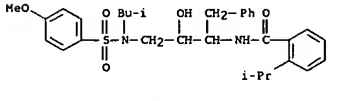
Absolute stereochemistry.



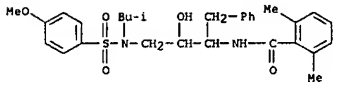
RN 216871-40-8 CAPLUS
CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



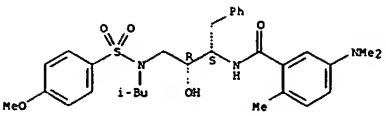
RN 216871-46-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



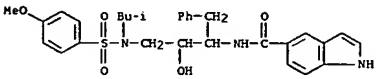
RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



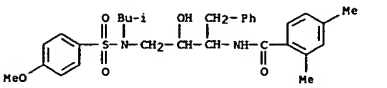
RN 216871-56-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



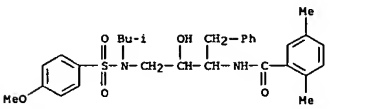
RN 216871-08-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



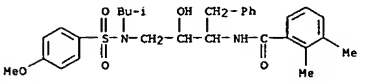
RN 216871-14-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



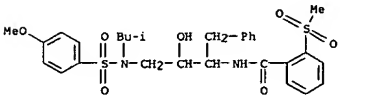
RN 216871-19-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



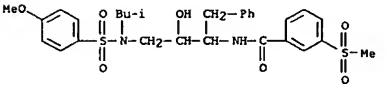
RN 216871-34-0 CAPLUS
CN Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



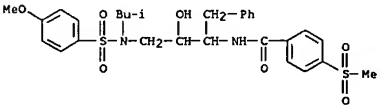
RN 216871-60-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



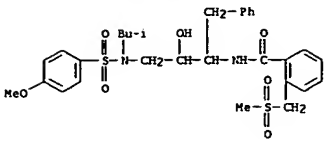
RN 216871-65-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



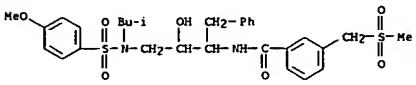
RN 216871-68-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



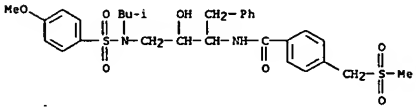
RN 216871-72-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



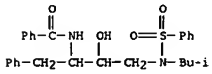
RN 216871-77-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



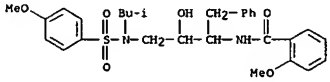
RN 216871-82-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



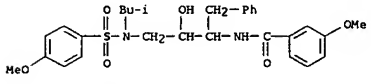
RN 216871-92-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



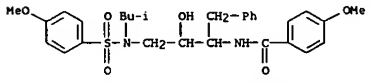
RN 216871-97-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



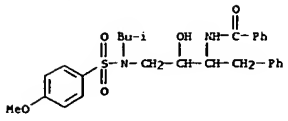
RN 216872-28-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)



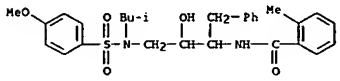
RN 216872-34-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)



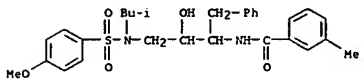
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



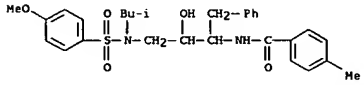
RN 216872-02-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 216872-08-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 216872-13-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 216872-20-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 2000:220728 CAPLUS
DOCUMENT NUMBER: 132:265504
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046190	A	20000404	US 1996-586866	19960124
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:		
US 1992-934984	B2	19920825
WO 1993-US7814	A2	19930824
US 1994-204872	B2	19940302
WO 1994-US9139	W	19940823
EP 1993-923714	A3	19930824
US 1993-110911	A	19930824
US 1994-204827	A	19940302

OTHER SOURCE(S): MARPAT 132:265504

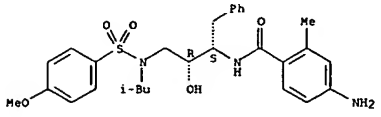
AB Hydroxyethylamino sulfonamide compds. R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepared by (1) preparing an N-protected amino

epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT 169280-77-7P 169280-78-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

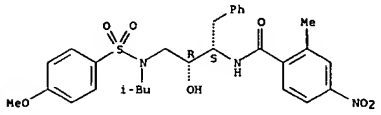
RN 169280-77-7 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

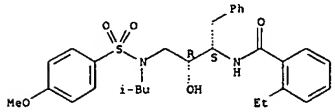


RN 169280-78-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

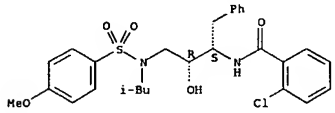


IT 159005-75-1P 159005-76-2P 159005-77-3P
159005-78-4P 159006-42-5P 169280-38-0P
169280-39-1P 169280-40-4P 169280-44-8P
169280-59-5P 169280-60-8P 169280-65-3P
169280-70-0P 169280-74-4P 169280-75-5P
169280-76-6P 169281-06-5P 169281-07-6P
169281-08-7P 169281-09-8P 169281-10-1P
169281-11-2P 169281-12-3P 216871-08-8P
216871-14-6P 216871-19-1P 216871-34-0P



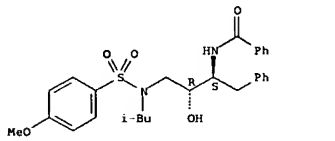
RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



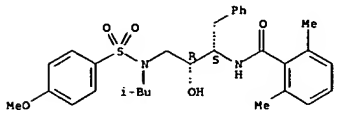
RN 169280-38-0 CAPLUS
CN Benzamide, 4-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

216871-40-8P 216871-46-4P 216871-50-0P
216871-56-6P 216871-60-2P 216871-65-7P
216871-68-0P 216871-72-6P 216871-77-1P
216871-82-8P 216871-87-3P 216871-92-0P
216871-97-5P 216872-02-5P 216872-08-1P
216872-13-8P 216872-20-7P 216872-28-5P
216872-34-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

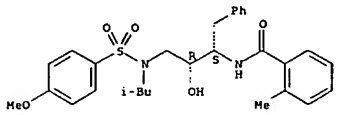
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



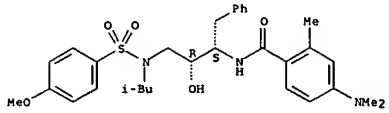
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



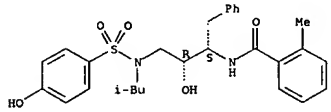
RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



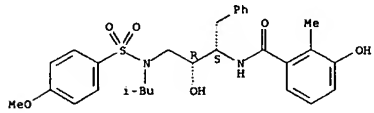
RN 169280-39-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



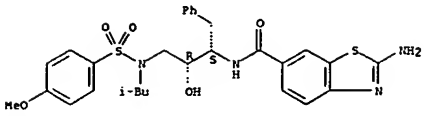
RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



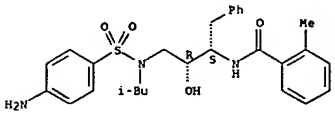
RN 169280-44-8 CAPLUS
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



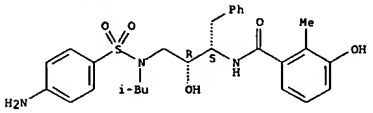
RN 169280-59-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



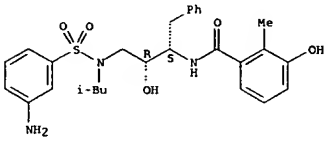
RN 169280-60-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



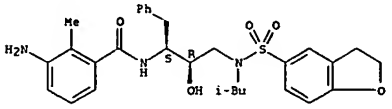
RN 169280-65-3 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



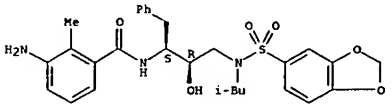
RN 169280-70-0 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



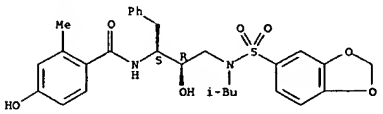
RN 169280-74-4 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



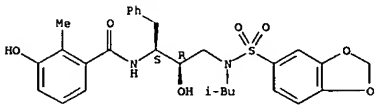
RN 169280-75-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



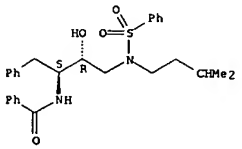
RN 169280-76-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



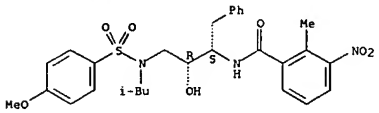
RN 169281-06-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



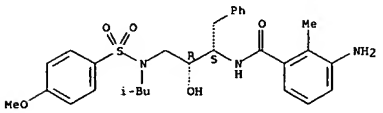
RN 169281-07-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



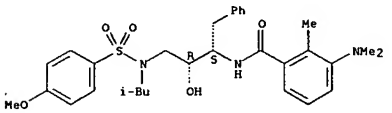
RN 169281-08-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



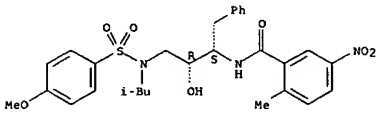
RN 169281-09-8 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



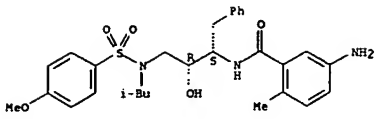
RN 169281-10-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



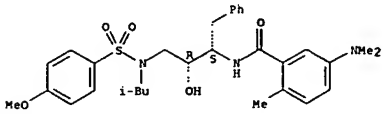
RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

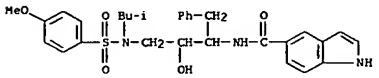


RN 169281-12-3 CAPLUS
CN Benzamide, 5-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

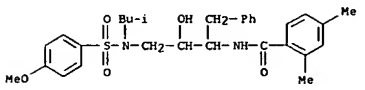
Absolute stereochemistry.



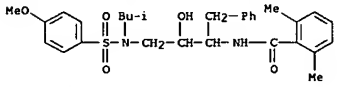
RN 216871-08-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



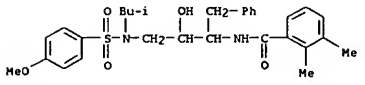
RN 216871-14-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



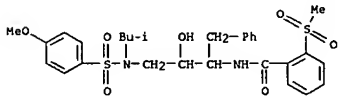
RN 216871-19-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



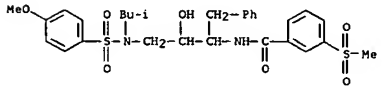
RN 216871-56-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



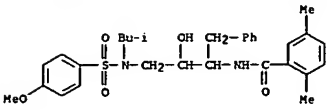
RN 216871-60-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



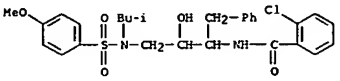
RN 216871-65-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



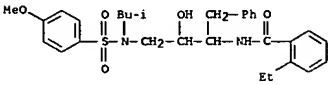
RN 216871-68-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



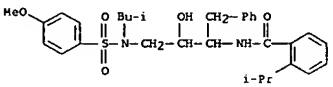
RN 216871-34-0 CAPLUS
CN Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



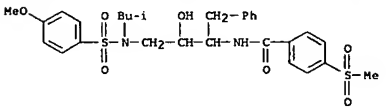
RN 216871-40-8 CAPLUS
CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



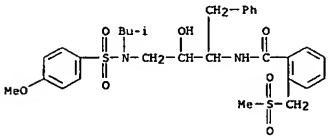
RN 216871-46-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



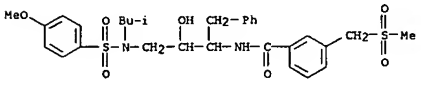
RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



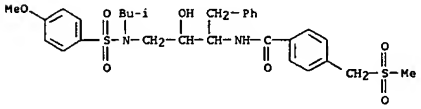
RN 216871-72-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



RN 216871-77-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

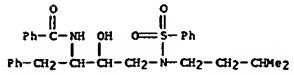


RN 216871-82-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

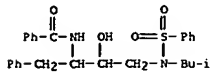


RN 216871-87-3 CAPLUS

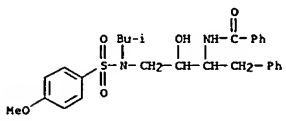
L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



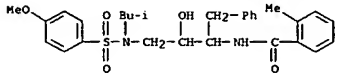
RN 216871-92-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-97-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

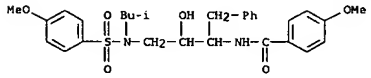


RN 216872-02-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



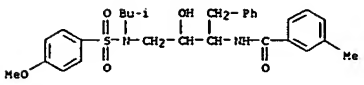
RN 216872-08-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

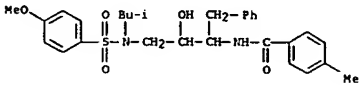


REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

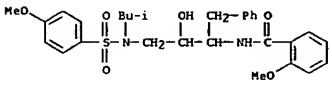
L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



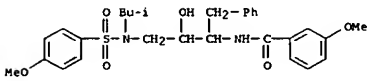
RN 216872-13-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 216872-20-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 216872-28-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 216872-34-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:811207 CAPLUS
DOCUMENT NUMBER: 132:49801
TITLE: Preparation of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.
INVENTOR(S): Sherrill, Ronald George; Hale, Michael R.; Spaltenstein, Andrew; Furfine, Eric Steven; Andrews, Clarence Webster, III; Lowen, Gregory Thomas
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965870	A2	19991223	WO 1999-US13744	19990617
WO 9965870	A3	20010315		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335477	AA	19991223	CA 1999-2335477	19990617
AU 9945760	A1	20000105	AU 1999-45760	19990617
AU 767728	B2	20031120		
EP 1086076	A1	20010328	EP 1999-928769	19990617
EP 1086076	B1	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9912169	A	20010410	BR 1999-12169	19990617
NZ 508855	A	20031031	NZ 1999-508855	19990617
AT 285396	E	20050115	AT 1999-928769	19990617
PT 1086076	T	20050531	PT 1999-928769	19990617
ES 2235492	T3	20050701	ES 1999-928769	19990617
US 2002049201	A1	20020425	US 2000-731129	20001206
US 6613743	B2	20030902		
NO 2000006405	A	20010219	NO 2000-6405	20001215
HK 1037605	A1	20051007	HK 2001-106764	20010925
US 2004097594	A1	20040520	US 2003-600937	20030620
NZ 528074	A	20041126	NZ 2003-528074	20030908

PRIORITY APPLN. INFO.: US 1998-90094P P 19980619
WO 1999-US13744 W 19990617
US 2000-731129 A3 20001206

OTHER SOURCE(S): MARPAT 132:49801

AB ABxN(Gx)CHDCHOR7CH2ND'SO2E [A = H, (substituted) Ht, R1Ht, R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1 = CO, SO2, COCO, O2C, NR2CO, NR2SO2, etc.; B = null, NR2C(R3)2CO; x = 0, 1; R2 = H, (substituted) Ht, alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = null, H, R7, alkyl; G may be bound to R7; D = (substituted) Q, alkyl, alkenyl; Q = (substituted) carbocyclyl, heterocyclyl; D' = OR10, N:R10, N(R10)R1R3; E = Ht, OHt, OR3, NR2R3, (substituted) alkyl, alkenyl, etc.; R7 = H, (CH2O)wY(ZM)(:X)Z(M)x, etc.; M = null, H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O, S; Y = P, S; Z = O, S, N(R2)2, H], were prepared as inhibitors of HIV aspartyl

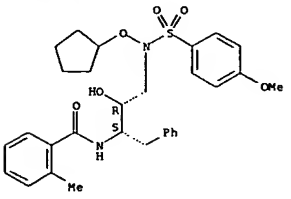
L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
protease (no data). Thus, 3-H2NC6H4SO2NHOCHMe2 (prepn. given), tert-Bu N-(1S)-1-[(2S)-oxiran-2-yl]-2-phenylethylcarbamate, and phosphazene base P4 tert-Bu were stirred in 8 h in THF to give 95% tert-Bu N-(1S,2R)-3-[[(3-aminophenyl)sulfonyl](isopropoxy)amino]-1-benzyl-2-hydroxypropylcarbamate.

IT 252871-13-9P 252871-56-0P 252871-62-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-13-9 CAPLUS

CN Benzamide, N-[(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

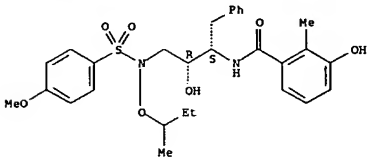
Absolute stereochemistry.



RN 252871-56-0 CAPLUS

CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](1-methylpropoxy)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

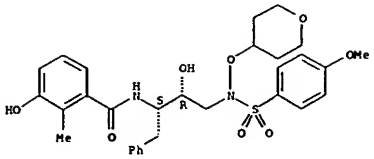


RN 252871-62-8 CAPLUS

CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

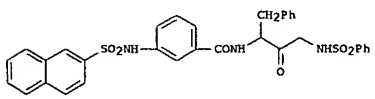
ACCESSION NUMBER: 1999:684298 CAPLUS
DOCUMENT NUMBER: 131:286266
TITLE: New substituted benzamides: preparation and application
INVENTOR(S): Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg; Knopp, Monika
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19817461	A1	19991021	DE 1998-19817461	19980420
CA 2328430	AA	19991028	CA 1999-2328430	19990419
WO 9954293	A1	19991028	WO 1999-EP2617	19990419
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9938186	A1	19991108	AU 1999-38186	19990419
AU 743245	B2	20020124		
BR 9909776	A	20001219	BR 1999-9776	19990419
EP 1073632	A1	20010207	EP 1999-920704	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002512220	T2	20020423	JP 2000-544634	19990419
US 6436925	B1	20020820	US 2000-647673	20001003
BG 104856	A	20010531	BG 2000-104856	20001013
NO 2000005265	A	20001019	NO 2000-5265	20001019
HR 2000000777	A1	20010630	HR 2000-777	20001115
ZA 2000006758	A	20011212	ZA 2000-6758	20001120

PRIORITY APPLN. INFO.: DE 1998-19817461 A 19980420
WO 1999-EP2617 W 19990419

OTHER SOURCE(S): MARPAT 131:286266

GI



I

AB Benzamides such as (S)-I are prepared as inhibitors of enzymes, e.g., calpains and cathepsin B. Thus, (S)-I is prepared in 80% yield by oxidation of the corresponding alc. with pyridine-SO3 complex in the presence of Et3N in DMSO. The alc. is prepared from 3-(2-naphthylsulfonamido)benzoic acid and N-[3(S)-amino-4-phenylbutan-2(R,S)-ol-1-yl]benzenesulfonamide. At 1 μM, I showed >50% inhibition of calpain I.

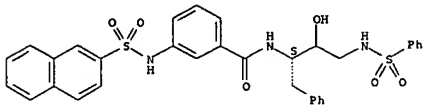
IT 246047-38-1P 246047-46-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzamide deriv. enzyme inhibitors)

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 246047-38-1 CAPLUS

CN Benzamide, N-[(1S)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)amino]propyl]-3-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

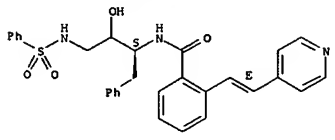
Absolute stereochemistry.



RN 246047-46-1 CAPLUS

CN Benzamide, N-[(1S)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)amino]propyl]-2-[(1E)-2-(4-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:670116 CAPLUS
DOCUMENT NUMBER: 131:295568
TITLE: α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968942	A	19991019	US 1994-294468	19940823
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6060476	A	20000509	US 1994-204827	19940302
US 6248775	B1	20010619	US 1999-288080	19990408
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2005267171	A1	20051201	US 2005-110943	20050421

PRIORITY APPLN. INFO.:

US 1992-934984	B2	19920825
WO 1993-US7814	A2	19930824
US 1994-204827	A2	19940302
EP 1993-923714	A3	19930824
US 1993-110911	A2	19930824
US 1994-294468	A1	19940823
US 1999-288080	A1	19990408
US 2001-798255	A1	20010305
US 2002-157019	A1	20020530
US 2003-633376	A1	20030804

OTHER SOURCE(S): MARPAT 131:295568

AB α- And β-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

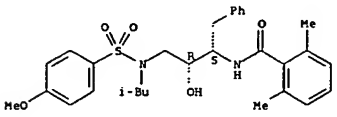
IT **159005-75-1P 159005-76-2P 159005-77-3P 159005-78-4P 169280-38-0P 169280-40-4P 169280-44-8P 169280-59-5P 169280-60-8P 169280-65-3P 169280-70-0P 169280-74-4P 169280-75-5P 169280-76-6P**

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

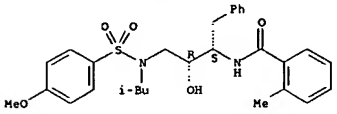
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



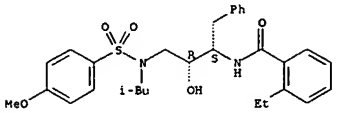
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

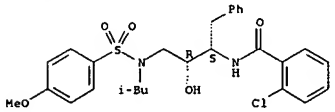
Absolute stereochemistry.



RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

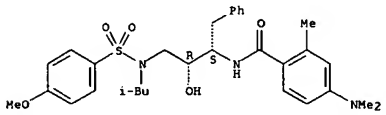
Absolute stereochemistry.

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



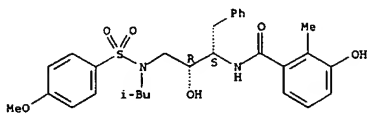
RN 169280-38-0 CAPLUS
CN Benzamide, 4-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

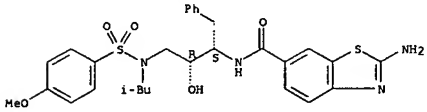
Absolute stereochemistry.



RN 169280-44-8 CAPLUS
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

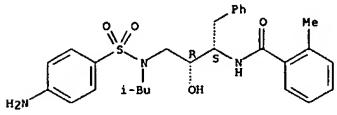
Absolute stereochemistry.

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



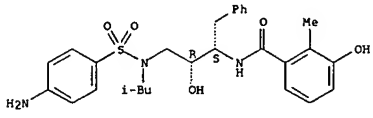
RN 169280-59-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



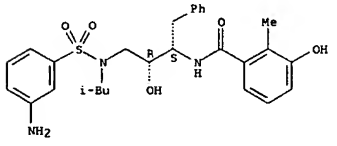
RN 169280-60-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



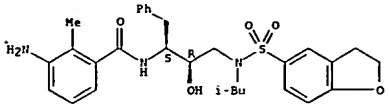
RN 169280-65-3 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



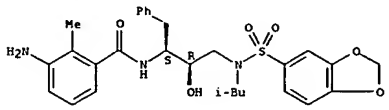
RN 169280-70-0 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



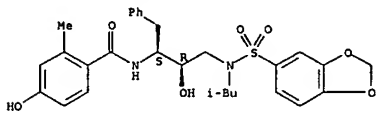
RN 169280-74-4 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



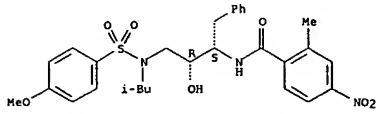
RN 169280-75-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-76-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

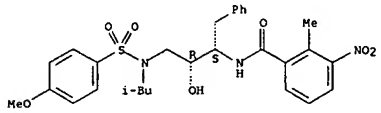
Absolute stereochemistry.



IT 169281-07-6P 169281-08-7P 169281-09-8P
169281-10-1P 169281-11-2P 169281-12-3P
216871-08-8P 216871-14-6P 216871-19-1P
216871-34-0P 216871-40-8P 216871-46-4P
216871-50-0P 216871-56-6P 216871-60-2P
216871-65-7P 216871-68-0P 216871-72-6P
216871-77-1P 216871-82-8P 216871-87-3P
216871-92-0P 216871-97-5P 216872-02-5P
216872-08-1P 216872-13-8P 216872-20-7P
216872-28-5P 216872-34-3P 247047-48-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

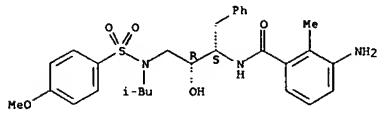
RN 169281-07-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

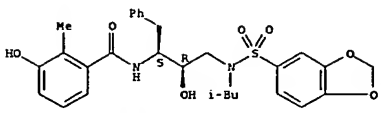


RN 169281-08-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



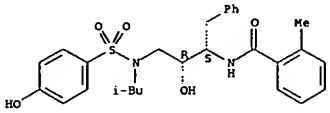
RN 169281-09-8 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-



IT 169280-39-1P 169280-77-7P 169280-78-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

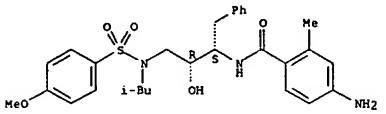
RN 169280-39-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-77-7 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

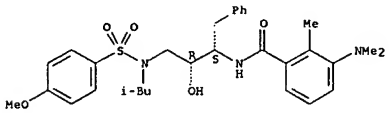


RN 169280-78-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

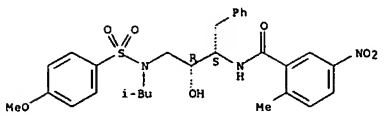
methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



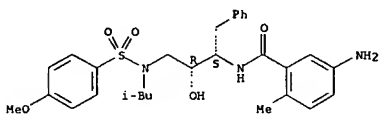
RN 169281-10-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



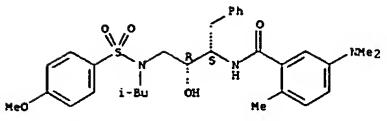
RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

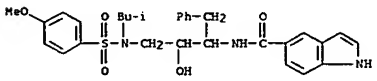


RN 169281-12-3 CAPLUS
CN Benzamide, 5-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

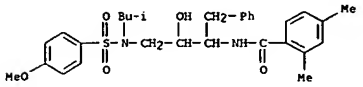
Absolute stereochemistry.



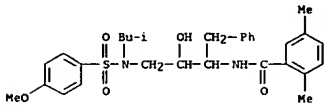
RN 216871-08-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



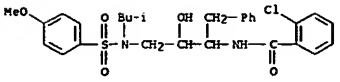
RN 216871-14-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)



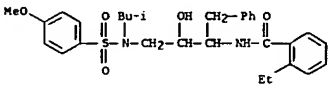
RN 216871-19-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



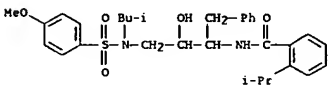
RN 216871-34-0 CAPLUS
CN Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



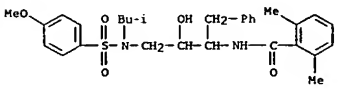
RN 216871-40-8 CAPLUS
CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



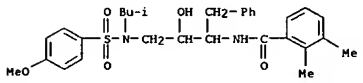
RN 216871-46-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



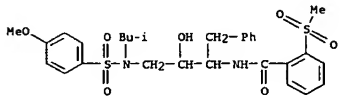
RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



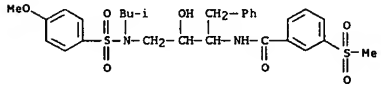
RN 216871-56-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



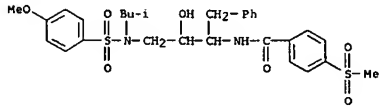
RN 216871-60-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



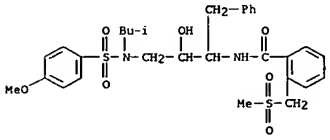
RN 216871-65-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



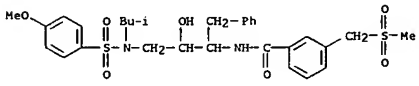
RN 216871-68-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 216871-72-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



RN 216871-77-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



RN 216871-82-8 CAPLUS
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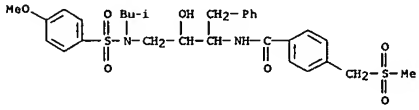
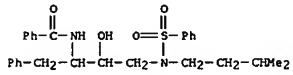


RN 216871-87-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-92-0 CAPLUS
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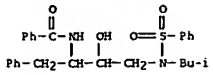
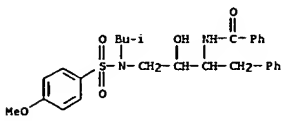
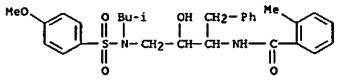


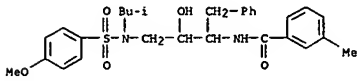
RN 216871-97-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



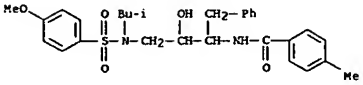
RN 216872-02-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



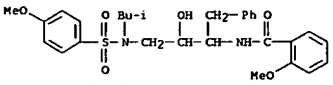
RN 216872-08-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



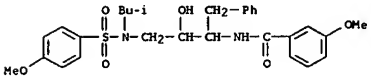
RN 216872-13-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)



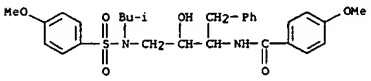
RN 216872-20-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)



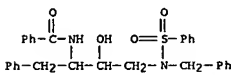
RN 216872-28-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 216872-34-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 247047-48-9 CAPLUS
CN Benzamide, N-[2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)(phenylsulfonyl)amino]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:799692 CAPLUS
DOCUMENT NUMBER: 130:38712
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843946	A	19981201	US 1993-110911	19930824
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
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AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
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ES 2127938	T3	19990501	ES 1994-927162	19940823
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 5786483	A	19980728	US 1995-487662	19950607
US 5830897	A	19981103	US 1995-473698	19950607
US 6172082	B1	20010109	US 1995-476788	19950607
US 5744481	A	19980428	US 1997-845392	19970425
US 6248775	B1	20010619	US 1999-288080	19990408
US 6335460	B1	20020101	US 2000-510189	20000222
US 6472407	B1	20021029	US 2000-511005	20000222
US 6534493	B1	20030318	US 2000-694785	20001024
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
PRIORITY APPLN. INFO.:			US 1992-934984 B2	19920825
			EP 1993-923714 A3	19930824
			US 1993-110911 A	19930824
			WO 1993-US7814 A2	19930824

US 1994-204827	A	19940302
US 1994-294468	A1	19940823
WO 1994-US9139	W	19940823
US 1995-476788	A1	19950607
US 1995-485524	B1	19950607
US 1999-288080	A1	19990408
US 2001-798255	A1	20010305
US 2002-157019	A1	20020530

OTHER SOURCE(S): MARPAT 130:38712

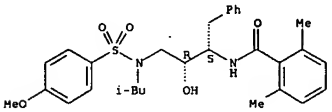
AB Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4 [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl] were preparation as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S-(phenylmethyl)propylamine. Protease inhibitory data are tabulated.

IT 159005-75-1P 159005-76-2P 159005-77-3P
159005-78-4P 159006-42-5P 169281-06-5P
216871-08-8P 216871-14-6P 216871-19-1P
216871-34-0P 216871-40-8P 216871-46-4P
216871-50-0P 216871-56-6P 216871-60-2P
216871-65-7P 216871-68-0P 216871-72-6P
216871-77-1P 216871-82-8P 216871-87-3P
216871-92-0P 216871-97-5P 216872-02-5P
216872-08-1P 216872-13-8P 216872-20-7P
216872-28-5P 216872-34-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 159005-75-1 CAPLUS

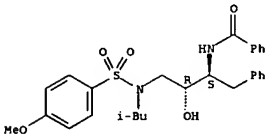
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159005-76-2 CAPLUS

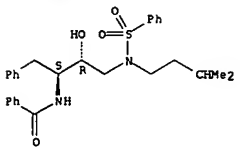
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-



RN 169281-06-5 CAPLUS

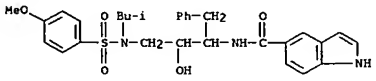
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



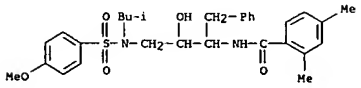
RN 216871-08-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-14-6 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

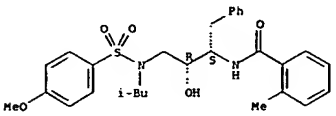


RN 216871-19-1 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX

methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

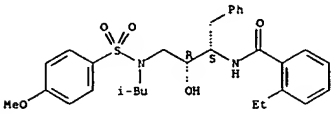
Absolute stereochemistry.



RN 159005-77-3 CAPLUS

CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

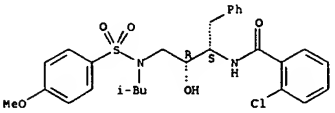
Absolute stereochemistry.



RN 159005-78-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

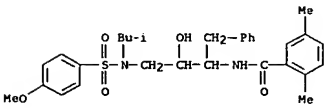


RN 159006-42-5 CAPLUS

CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

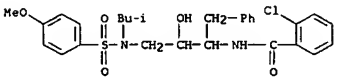
Absolute stereochemistry.

NAME)



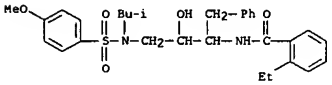
RN 216871-34-0 CAPLUS

CN Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



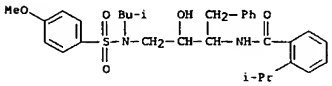
RN 216871-40-8 CAPLUS

CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



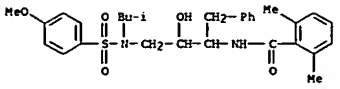
RN 216871-46-4 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

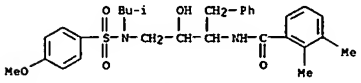


RN 216871-50-0 CAPLUS

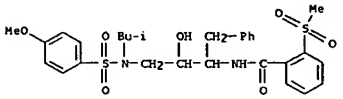
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



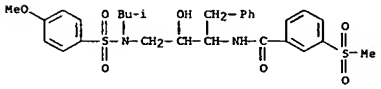
RN 216871-56-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 216871-60-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 216871-65-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 216871-68-0 CAPLUS
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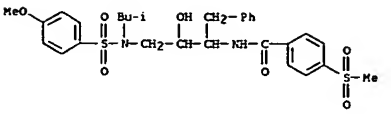
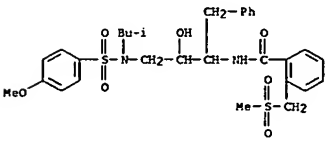
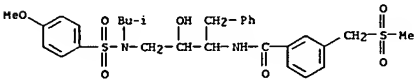


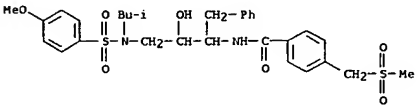
RN 216871-72-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



RN 216871-77-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

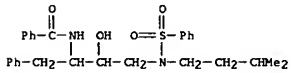


RN 216871-82-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

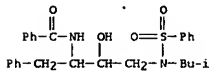


RN 216871-87-3 CAPLUS

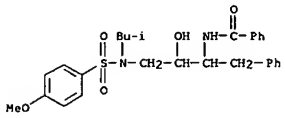
CN Benzamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



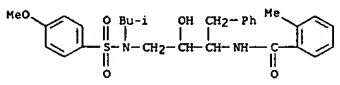
RN 216871-92-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



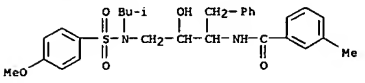
RN 216871-97-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



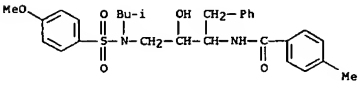
RN 216872-02-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)



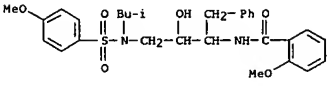
RN 216872-08-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



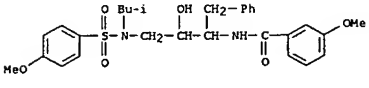
RN 216872-13-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 216872-20-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

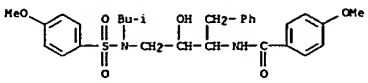


RN 216872-28-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 216872-34-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

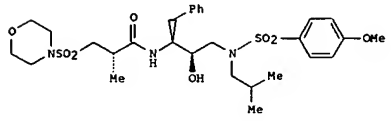
ACCESSION NUMBER: 1996:572053 CAPLUS
DOCUMENT NUMBER: 125:222459
TITLE: Preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors.
INVENTOR(S): Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622287	A1	19960725	WO 1996-US607	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
CA 2210889	AA	19960725	CA 1996-2210889	19960118
AU 9647008	A1	19960807	AU 1996-47008	19960118
EP 804428	A1	19971105	EP 1996-902700	19960118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11500105	T2	19990106	JP 1996-522362	19960118
EP 1586558	A2	20051019	EP 2005-13695	19960118
EP 1586558	A3	20051026		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6143747	A	20001107	US 1998-875025	19980226
US 6384036	B1	20020507	US 2000-635896	20000811
US 2003013751	A1	20030116	US 2002-76607	20020219
US 2004063771	A1	20040401	US 2003-417340	20030417

PRIORITY APPLN. INFO.:

US 1995-376337	A	19950120
EP 1996-902700	A3	19960118
WO 1996-US607	W	19960118
US 1998-875025	A1	19980226
US 2000-635896	A1	20000811
US 2002-76607	A1	20020219

OTHER SOURCE(S): MARPAT 125:222459
GI



I

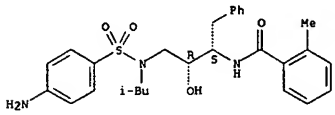
AB R1OR11NSOw(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxR4 [R1 = H, CH2SO2NH2, CH2SO2Me, CO2Me CONH2, alkyl, haloalkyl, heterocycloalkyl, amino acid side

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
chain (deriv.), etc.; R2 = halo, NO2, cyano, CF3, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R3 = alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylthioalkyl, arylthioalkyl, heteroaryl, etc.; R4 = alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryl, aralkyl, thioalkyl, heteroaryl, heterocycloalkyl, etc.; R6, R8 = H, alkyl; R7 = CO2H, amidino, R1; R1R7 = atoms to form a cycloalkyl or heterocyclyl ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, thioalkyl, alkylthioalkyl, etc.; R10R11N = heterocyclo, aralkylheterocyclo, heteroaryl, etc.; x, w = 0-2; t = 0-6; Y = O, S, NH], were prepd. Thus, 3-(4-morpholinosulfonyl)-2(R)-methylpropionic acid (prepn. given) in DMF was treated with hydroxybenzotriazole, EDC, and 3(S)-amino-1-[N-(2-methylpropyl)-N-(4-methoxyphenylsulfonyl)amino]-4-phenyl-2(R)-butanol (prepn. given) to give title compd. (I). I inhibited HIV protease with IC50 = 10 nM.

IT 169280-59-5P 169280-60-8P 169280-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors)

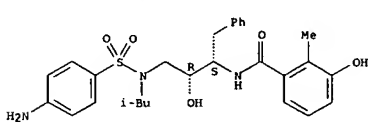
RN 169280-59-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-60-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

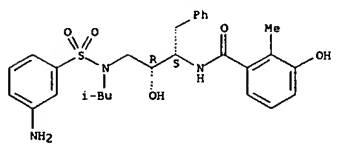
Absolute stereochemistry.



RN 169280-65-3 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

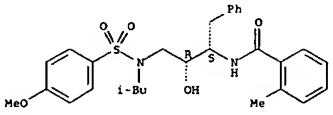
ACCESSION NUMBER: 1996:143659 CAPLUS
DOCUMENT NUMBER: 124:288924
TITLE: (Hydroxyethyl)sulfonamide HIV-1 Protease Inhibitors: Identification of the 2-Methylbenzoyl Moiety at P-2
AUTHOR(S): Freskos, John N.; Bertenshaw, Deborah E.; Getman, Daniel P.; Heintz, Robert M.; Mischke, Brent V.; Blystone, Lisa W.; Bryant, Martin L.; Funckes-Shippy, Christine; Houseman, Kathyrn A.; et al.
CORPORATE SOURCE: Departments Medicinal Chemistry Infectious Diseases, Searle Discovery Research, St. Louis, MO, 63198, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(4), 445-50
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A potent low mol. weight series of HIV-1 protease inhibitors incorporating the (R)-(hydroxyethyl) sulfonamide isostere was discovered. The target compds. were derivs. of [R-(R*,S*)]-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]benzamide.

IT 159005-76-2P 159005-77-3P 159005-78-4P, Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl], [R-(R*,S*)]- 159006-33-4P 159006-42-5P 159006-43-6P 159006-44-7P 159006-45-8P, Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy, [R-(R*,S*)]- 169280-40-4P 169280-77-7P 169281-08-7P 169281-11-2P 175659-58-2P 175659-59-3P 175659-60-6P 175659-61-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
([hydroxy[[(methoxyphenyl)sulfonyl](methylpropyl)amino](phenylmethyl)propyl]benzamides as HIV-1 protease inhibitors)

RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

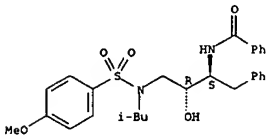
Absolute stereochemistry.



RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

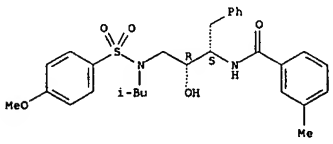
Absolute stereochemistry.

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



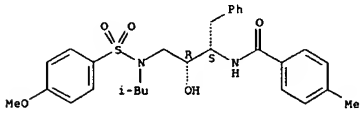
RN 159006-43-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-44-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

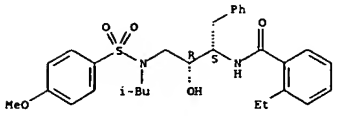
Absolute stereochemistry.



RN 159006-45-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

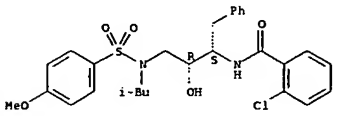
Absolute stereochemistry.

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



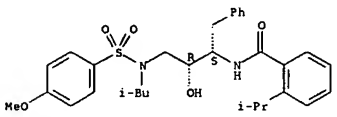
RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-33-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

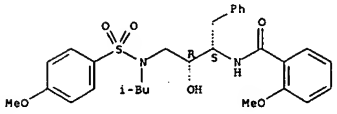
Absolute stereochemistry.



RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

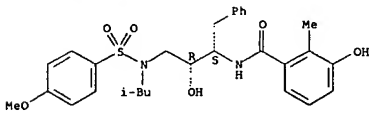
Absolute stereochemistry.

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



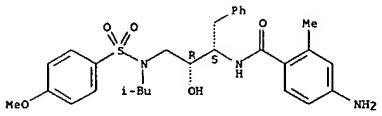
RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



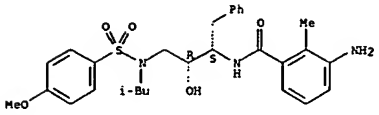
RN 169280-77-7 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



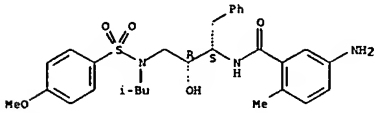
RN 169281-08-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



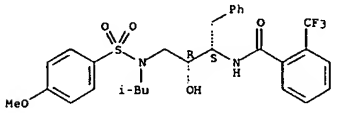
RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



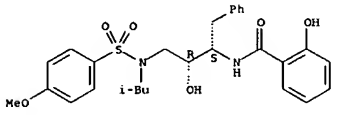
RN 175659-58-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(trifluoromethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



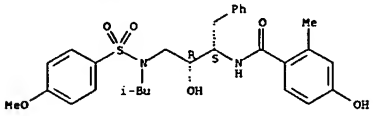
RN 175659-59-3 CAPLUS
CN Benzamide, 2-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



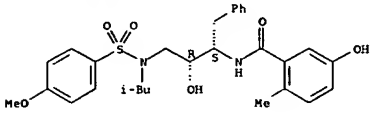
RN 175659-60-6 CAPLUS
CN Benzamide, 4-hydroxy-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175659-61-7 CAPLUS
CN Benzamide, 5-hydroxy-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1995:871984 CAPLUS
DOCUMENT NUMBER: 123:279761
TITLE: Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843946	A	19981201	US 1993-110911	19930824
US 6060476	A	20000509	US 1994-204827	19940302
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6046190	A	20000404	US 1996-586866	19960124

PRIORITY APPLN. INFO.:
US 1993-110911 A 19930824
US 1994-204827 A 19940302
US 1992-934984 B2 19920825
WO 1993-US7814 A2 19930824
US 1994-204872 B2 19940302
WO 1994-US9139 W 19940823

OTHER SOURCE(S): MARPAT 123:279761

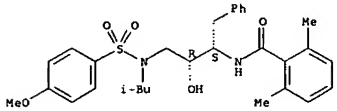
AB Hyroxethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I; R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

IT 159005-75-1P 159005-76-2P 159005-77-3P
159005-78-4P 159006-28-7P 159006-29-8P
159006-31-2P 159006-33-4P 159006-34-5P
159006-35-6P 159006-36-7P 159006-37-8P
159006-38-9P 159006-39-0P 159006-40-3P
159006-41-4P 159006-42-5P 159006-43-6P
159006-44-7P 159006-45-8P 159006-46-9P

159006-47-0P 169280-38-0P 169280-39-1P
169280-40-4P 169280-44-8P 169280-59-5P
169280-60-8P 169280-65-3P 169280-70-0P
169280-74-4P 169280-75-5P 169280-76-6P
169280-77-7P 169280-78-8P 169281-06-5P
169281-07-6P 169281-08-7P 169281-09-8P
169281-10-1P 169281-11-2P 169281-12-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

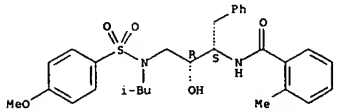
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



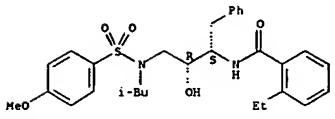
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



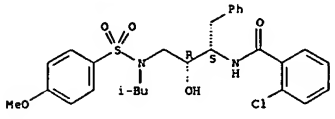
RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



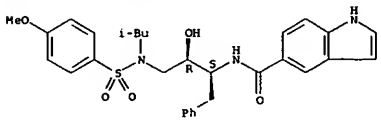
RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



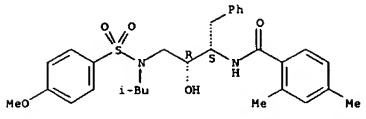
RN 159006-28-7 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

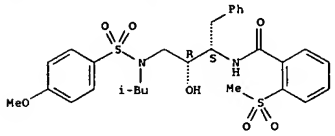
Absolute stereochemistry.



RN 159006-29-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

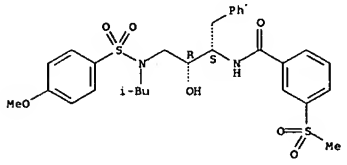
Absolute stereochemistry.





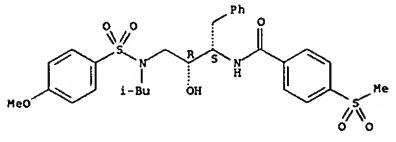
RN 159006-36-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-37-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

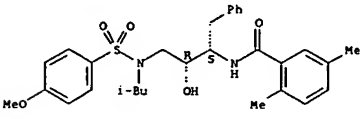


RN 159006-38-9 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

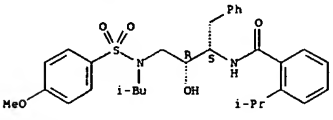
RN 159006-31-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



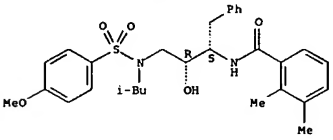
RN 159006-33-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



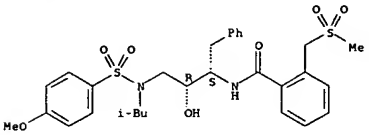
RN 159006-34-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



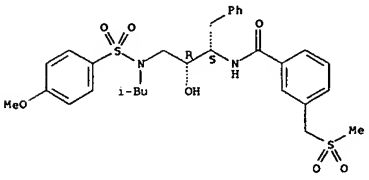
RN 159006-35-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



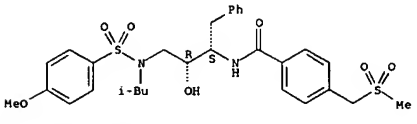
RN 159006-39-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



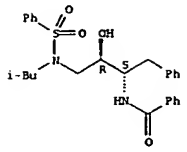
RN 159006-40-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



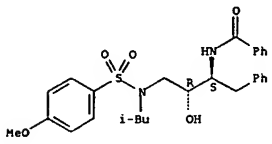
RN 159006-41-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



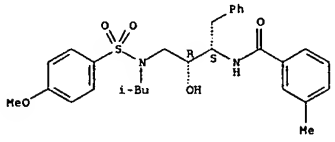
RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



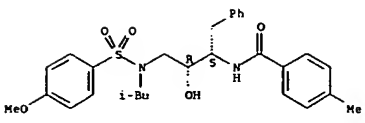
RN 159006-43-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



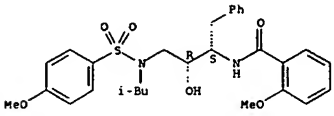
RN 159006-44-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



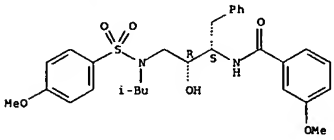
RN 159006-45-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



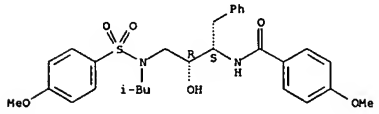
RN 159006-46-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



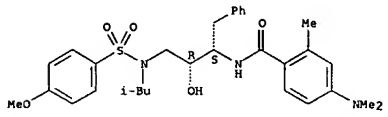
RN 159006-47-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



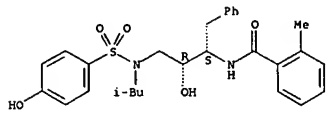
RN 169280-38-0 CAPLUS
CN Benzamide, 4-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



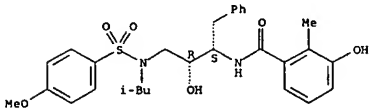
RN 169280-39-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



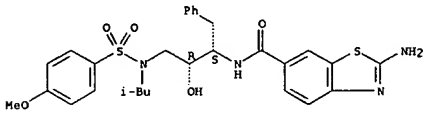
RN 169280-40-4 CAPLUS
CN Benzamide, 3-hydroxy-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



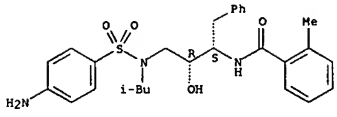
RN 169280-44-8 CAPLUS
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



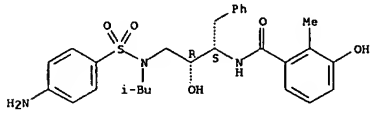
RN 169280-59-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-60-8 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

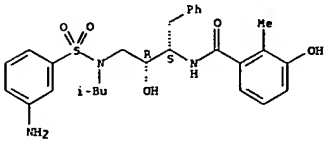
Absolute stereochemistry.



L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

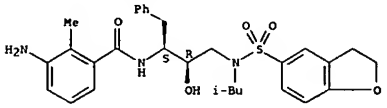
RN 169280-65-3 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



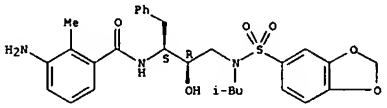
RN 169280-70-0 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-74-4 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

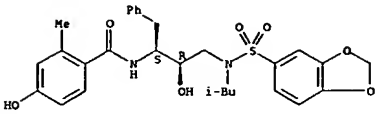
Absolute stereochemistry.



RN 169280-75-5 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

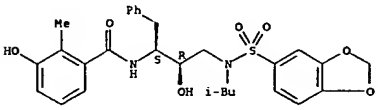
Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



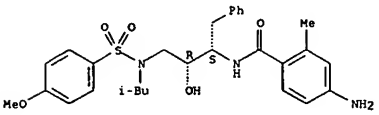
RN 169280-76-6 CAPLUS
CN Benzamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



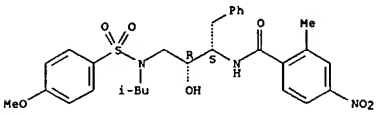
RN 169280-77-7 CAPLUS
CN Benzamide, 4-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-78-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

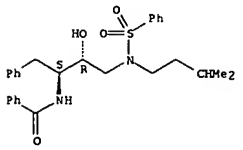
Absolute stereochemistry.



L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

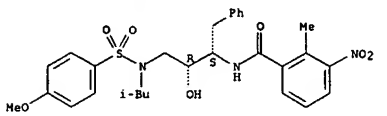
RN 169281-06-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



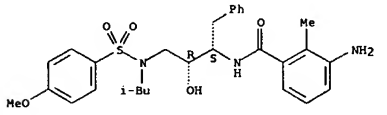
RN 169281-07-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169281-08-7 CAPLUS
CN Benzamide, 3-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

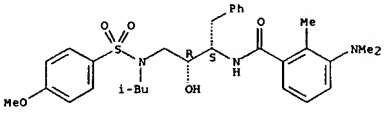
Absolute stereochemistry.



RN 169281-09-8 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

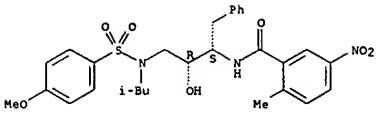
Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



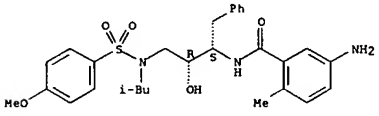
RN 169281-10-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



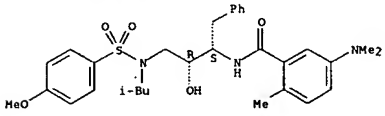
RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169281-12-3 CAPLUS
CN Benzamide, 5-(dimethylamino)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9453474	A1	19940315	AU 1994-53474	19930824
AU 680635	B2	19970807		
EP 656887	A1	19950614	EP 1993-923714	19930824
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RU 2173680	C2	20010920	RU 1995-106624	19930824
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PRIORITY APPLN. INFO.: US 1992-934984 A2 19920825
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US 1993-110911 A2 19930824
WO 1993-US7814 W 19930824
US 1994-204827 A2 19940302
US 1994-204872 B2 19940302
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US 1999-288080 A1 19990408
US 2001-798255 A1 20010305
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OTHER SOURCE(S): MARPAT 121:301324
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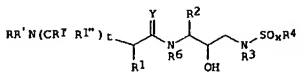

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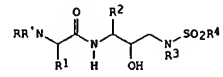

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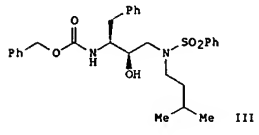


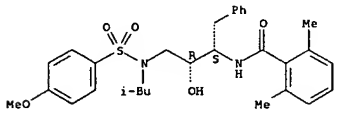
III

AB Title compds. [I and II: R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

IT **159005-75-1P 159005-76-2P 159005-77-3P 159005-78-4P 159006-28-7P 159006-29-8P 159006-31-2P 159006-33-4P 159006-34-5P 159006-35-6P 159006-36-7P 159006-37-8P 159006-38-9P 159006-39-0P 159006-40-3P 159006-41-4P 159006-42-5P 159006-43-6P 159006-44-7P 159006-45-8P 159006-46-9P 159006-47-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)

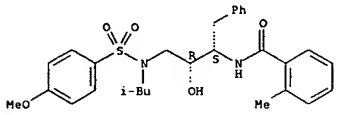
RN 159005-75-1 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



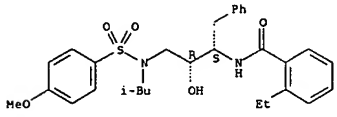
RN 159005-76-2 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



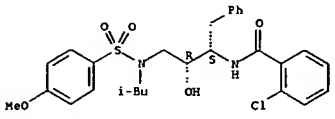
RN 159005-77-3 CAPLUS
CN Benzamide, 2-ethyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



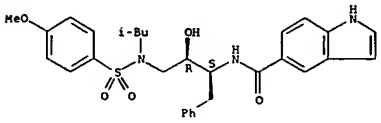
RN 159005-78-4 CAPLUS
CN Benzamide, 2-chloro-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



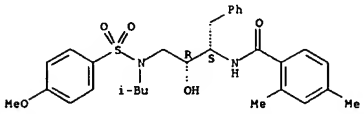
RN 159006-28-7 CAPLUS
CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



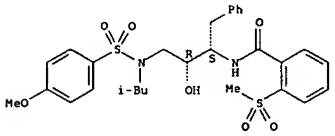
RN 159006-29-8 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



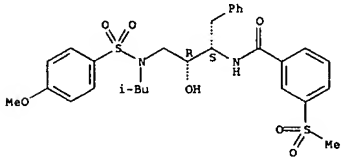
RN 159006-31-2 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-36-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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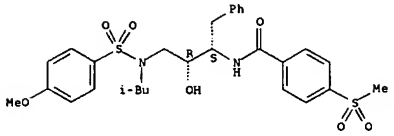
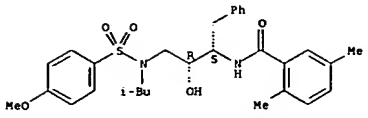
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



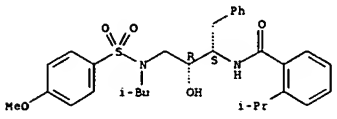
RN 159006-38-9 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



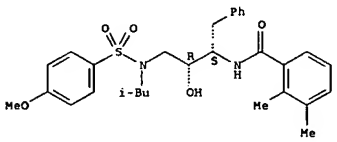
RN 159006-33-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



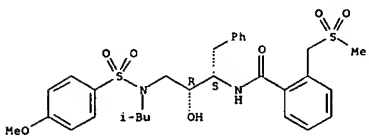
RN 159006-34-5 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



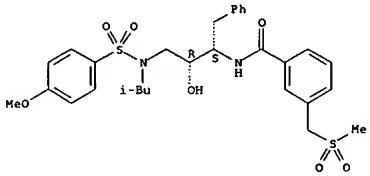
RN 159006-35-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



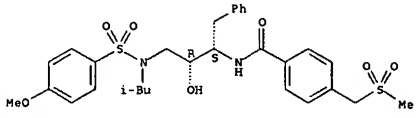
RN 159006-39-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



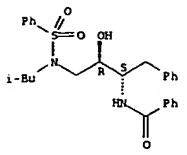
RN 159006-40-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



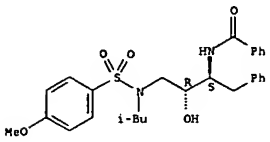
RN 159006-41-4 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



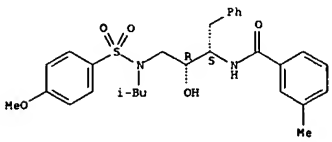
RN 159006-42-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



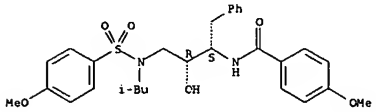
RN 159006-43-6 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

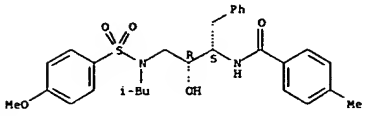
Absolute stereochemistry.



RN 159006-44-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

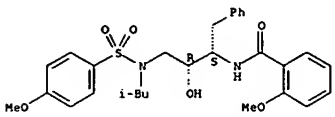
Absolute stereochemistry.





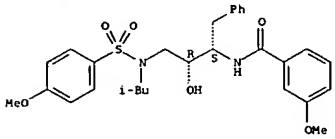
RN 159006-45-8 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-46-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-47-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.